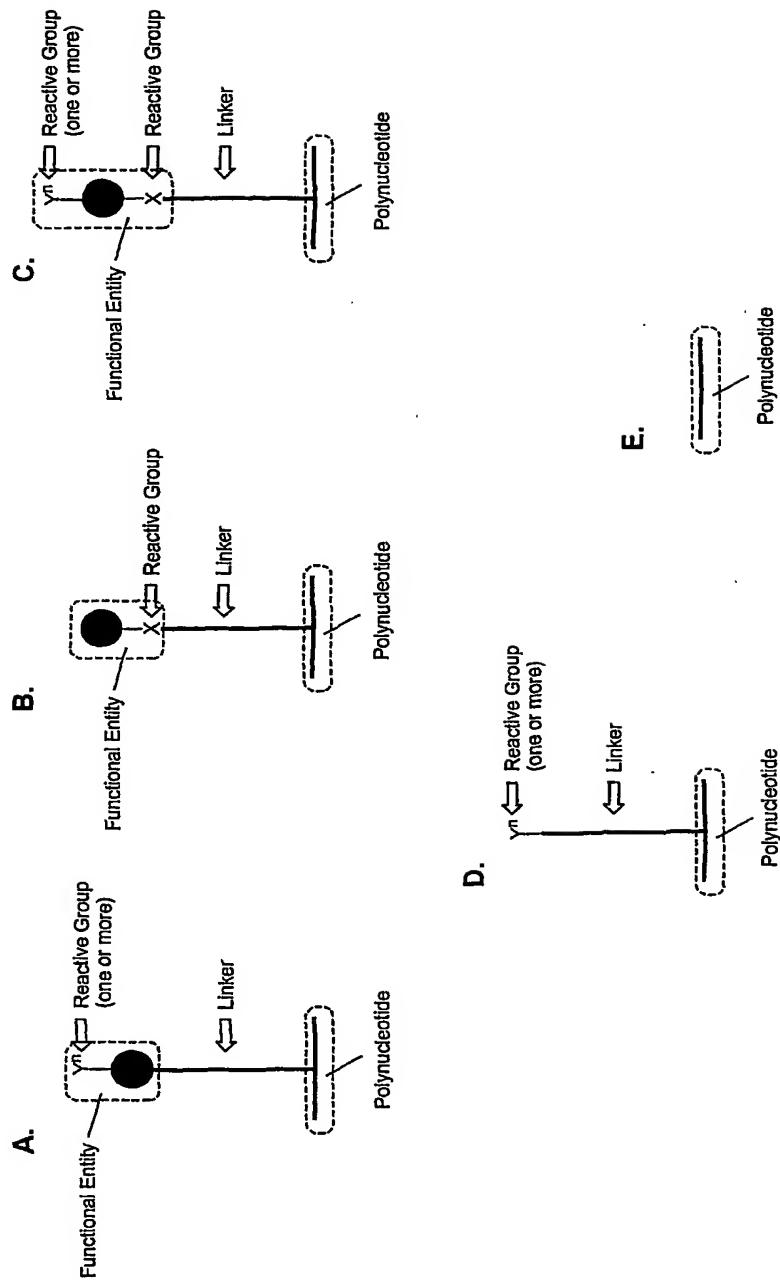


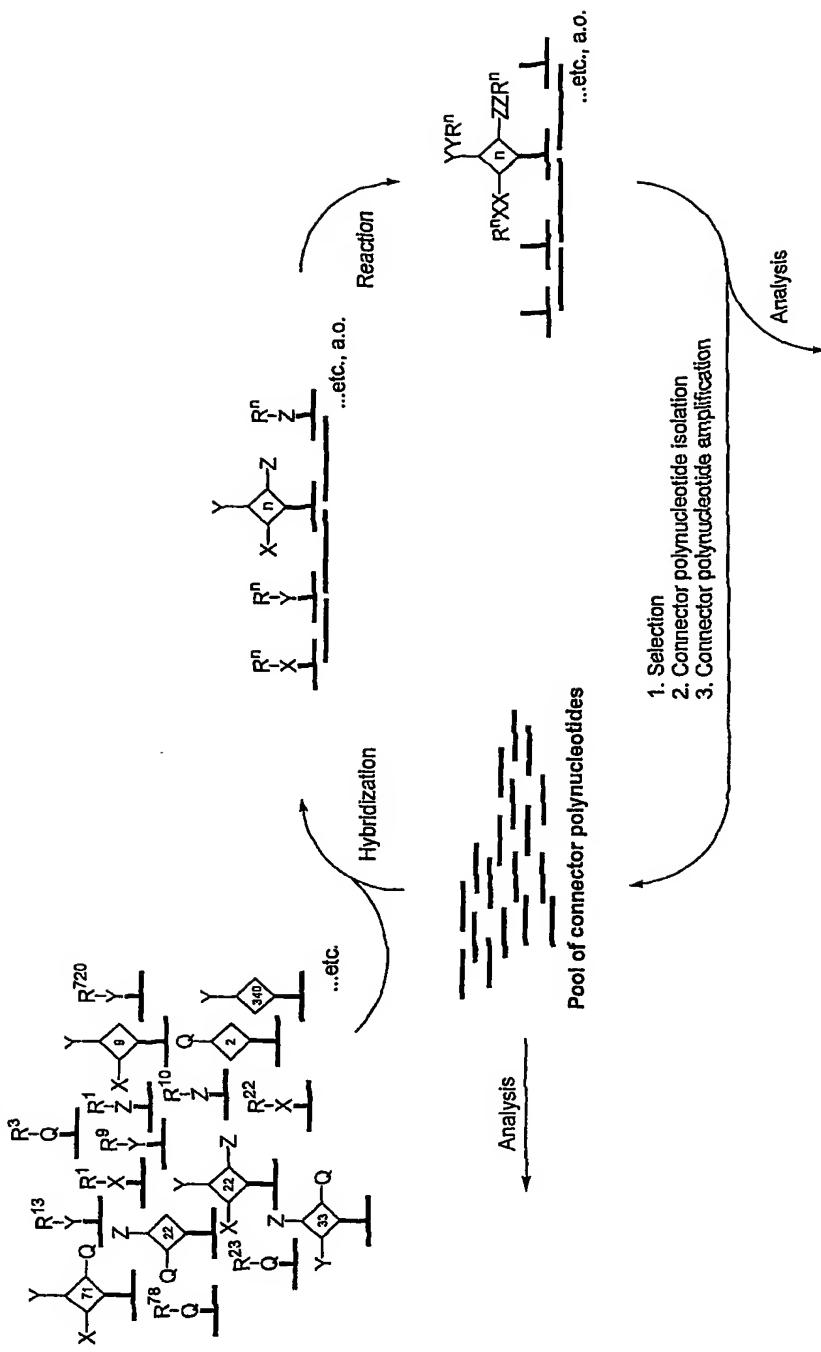
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Fig. 1 Examples of Complementary Connector Polynucleotides (CCPN's)

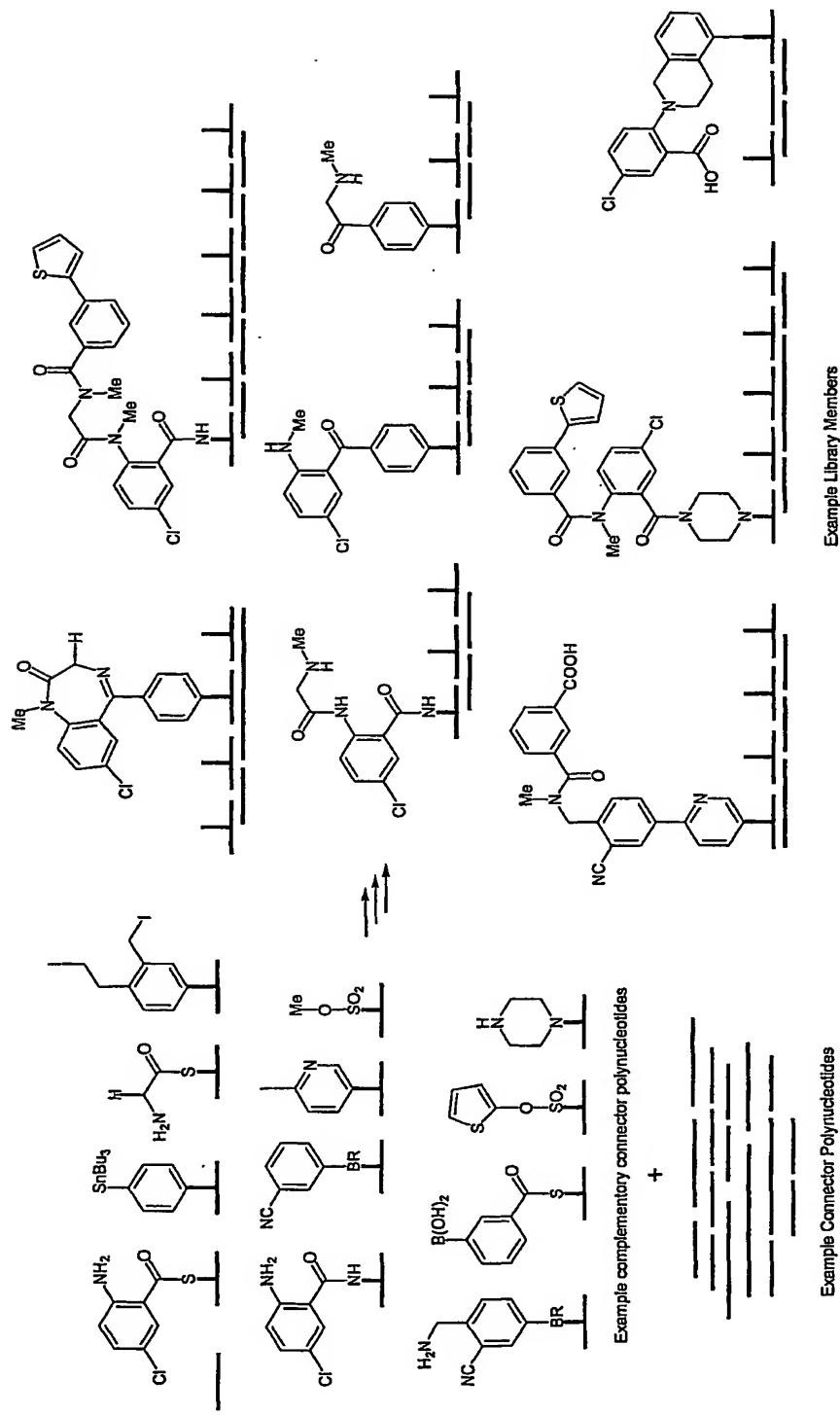


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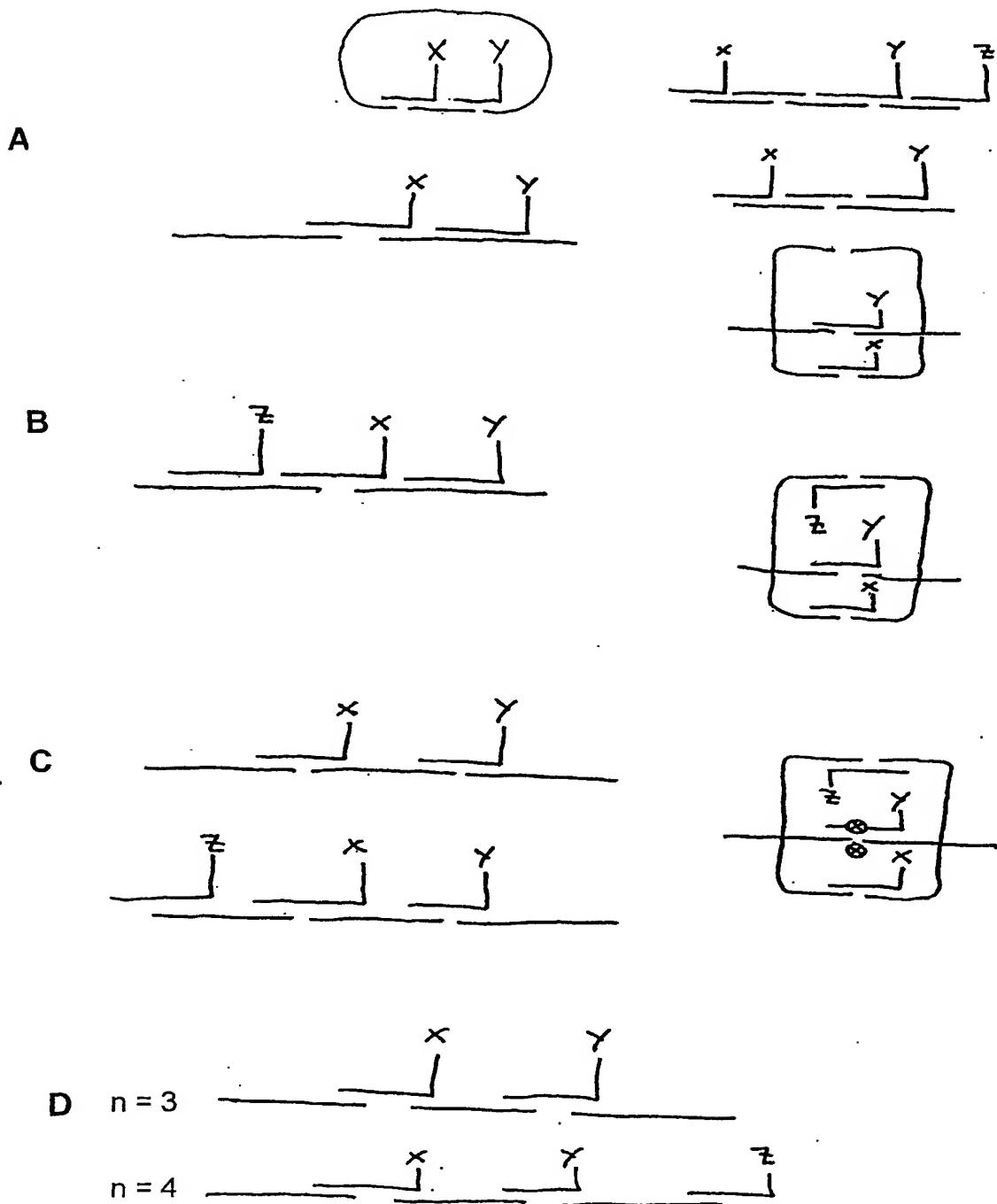
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Fig. 2 Library Formation, Screening and Analysis**Pool of substituent and scaffold complementary connector polynucleotides****SUBSTITUTE SHEET (RULE 26)**

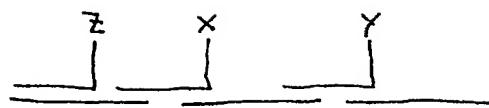
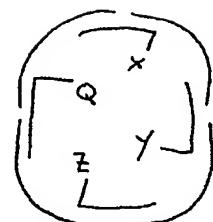
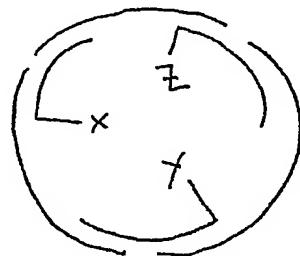
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Fig. 3. Example Library**SUBSTITUTE SHEET (RULE 26)**

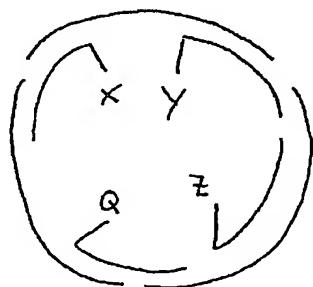
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Fig. 4**Various CCPN/CPN complexes****SUBSTITUTE SHEET (RULE 26)**

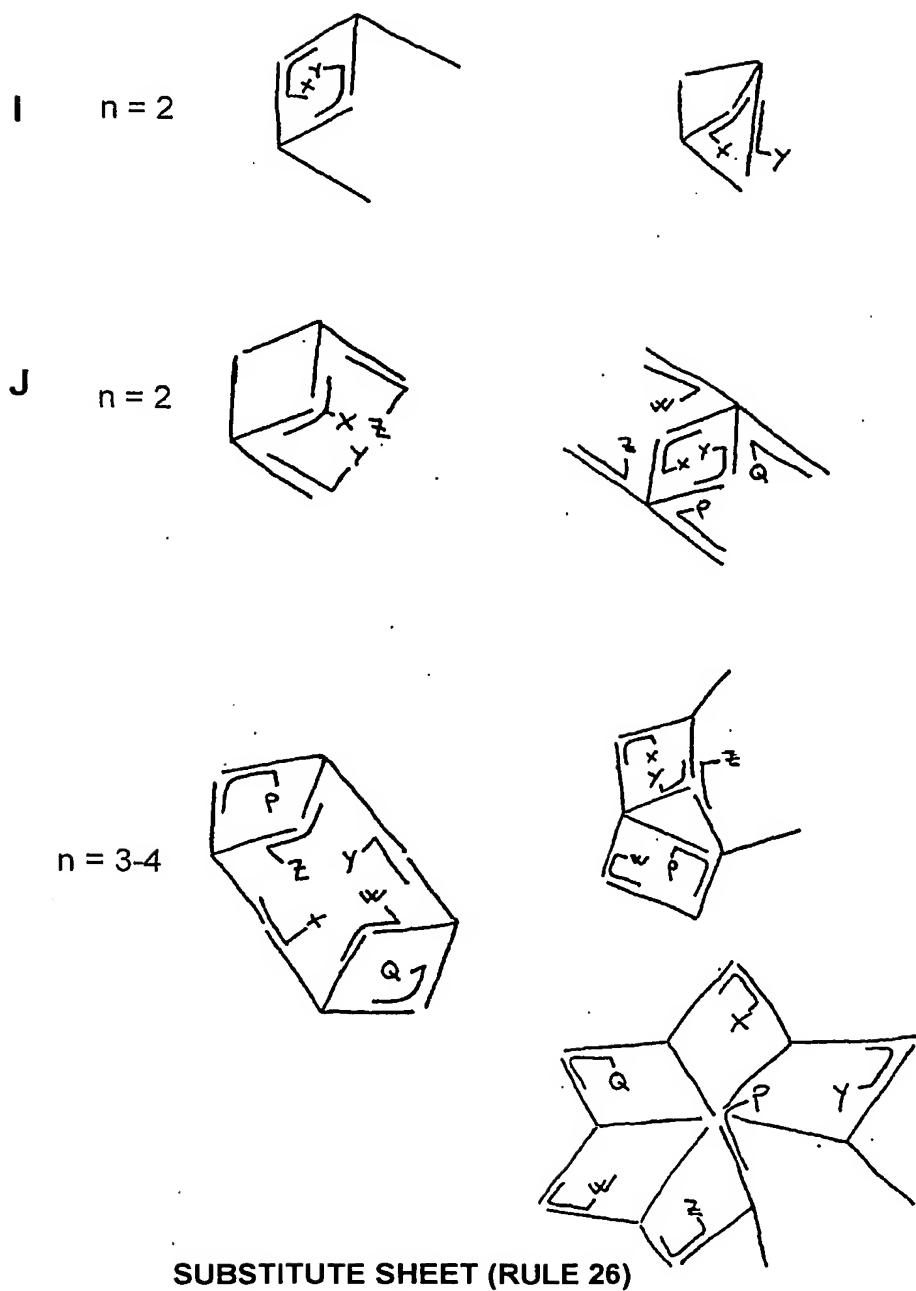
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Fig. 4 (continued)**E** n = 3**F** n = 3

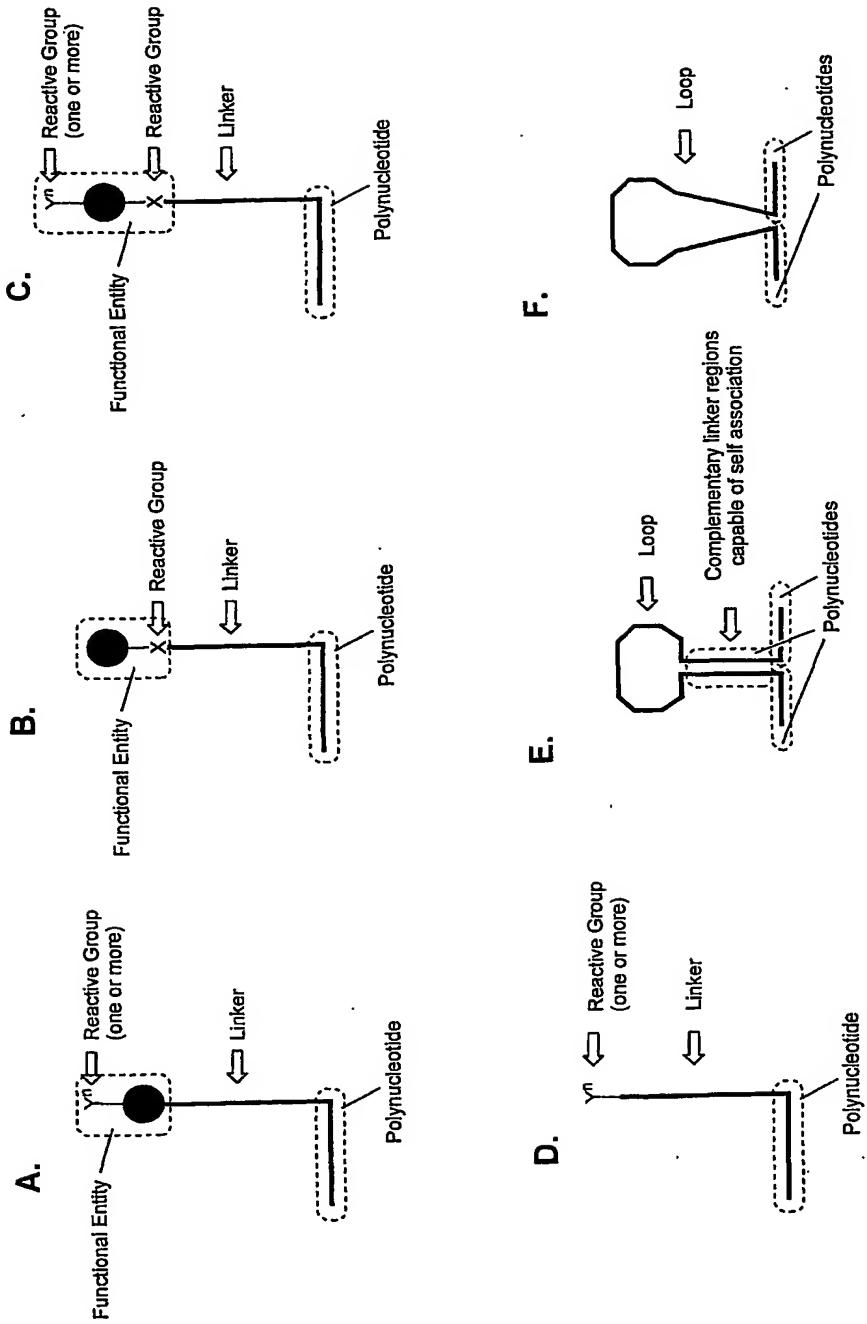
n = 4

G n = 3**H** n = 3**SUBSTITUTE SHEET (RULE 26)**

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Fig. 4 (continued)**SUBSTITUTE SHEET (RULE 26)**

10 0 5 3 8 2 8 2

Fig. 5 Examples of Complementary Connector Polynucleotides

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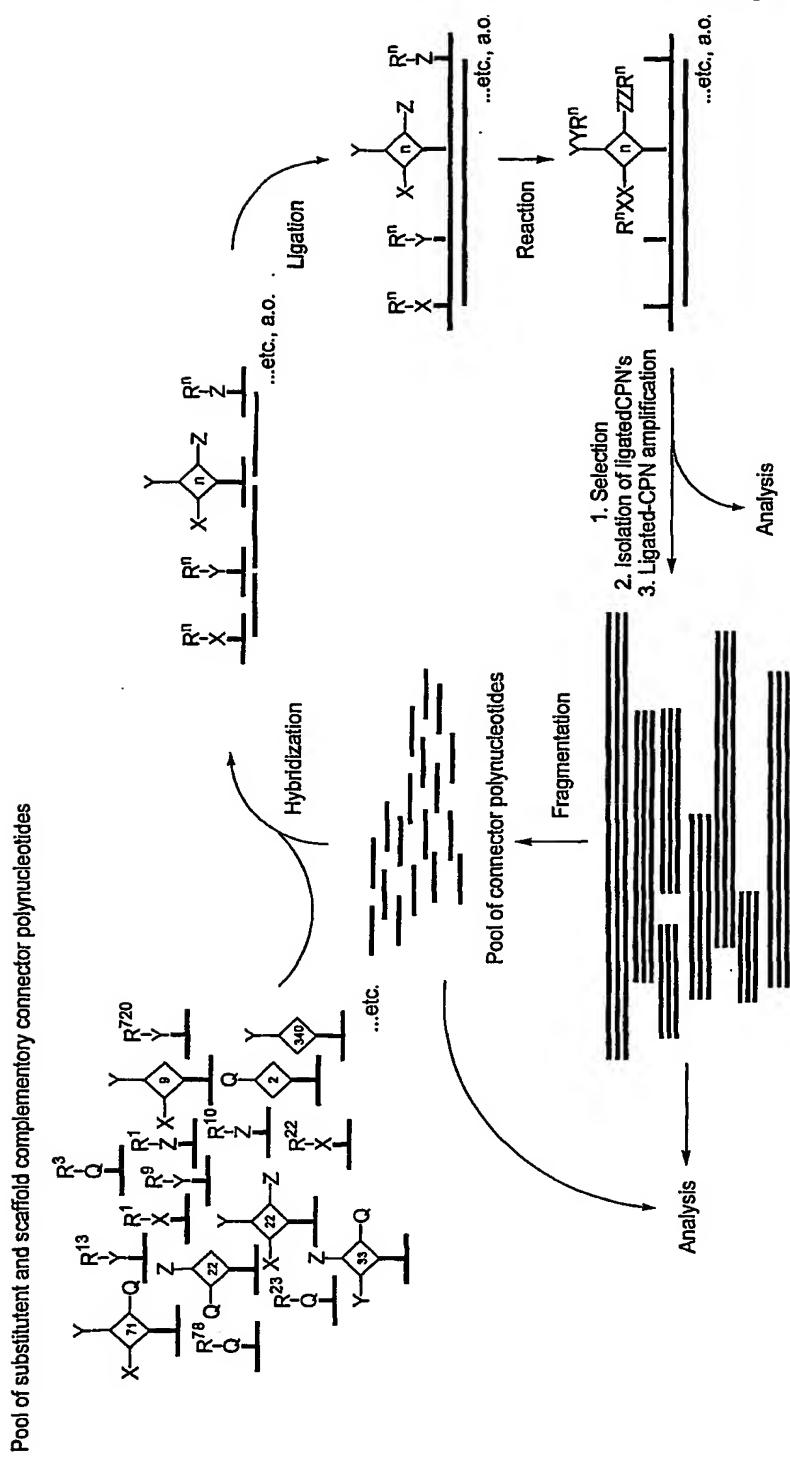
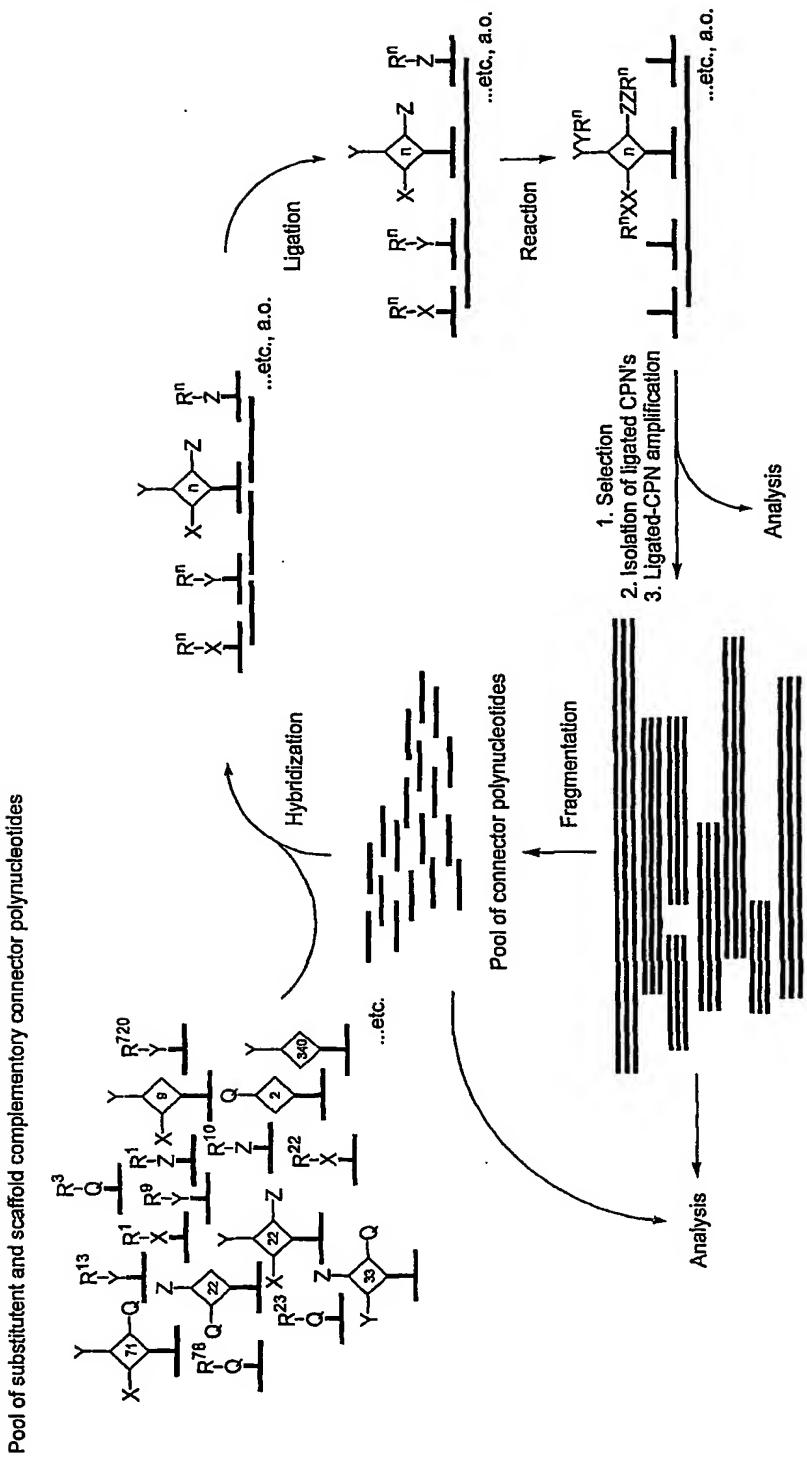
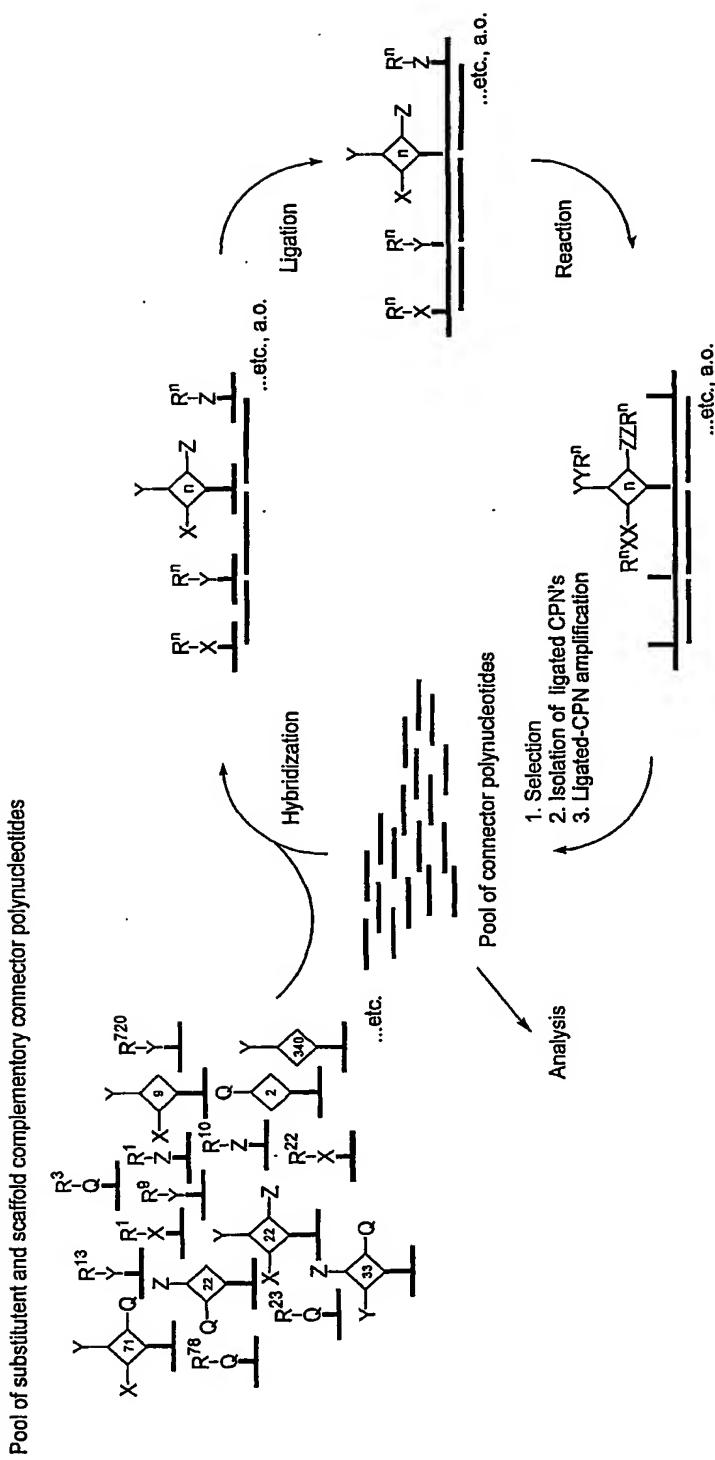
Fig. 6 Library formation, Screening and Analysis**SUBSTITUTE SHEET (RULE 26)**

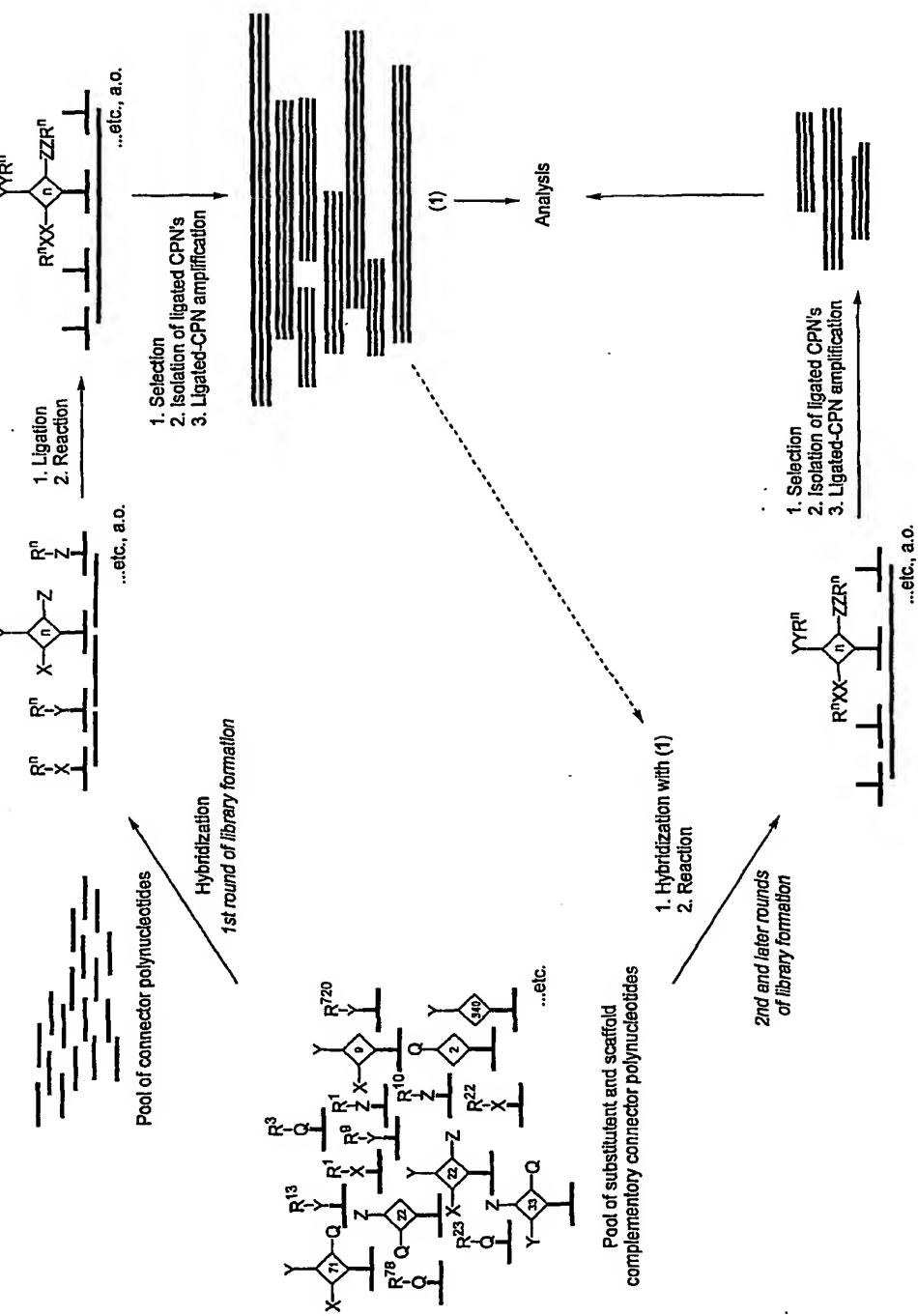
Fig. 7 Library formation, Screening and Analysis**SUBSTITUTE SHEET (RULE 26)**

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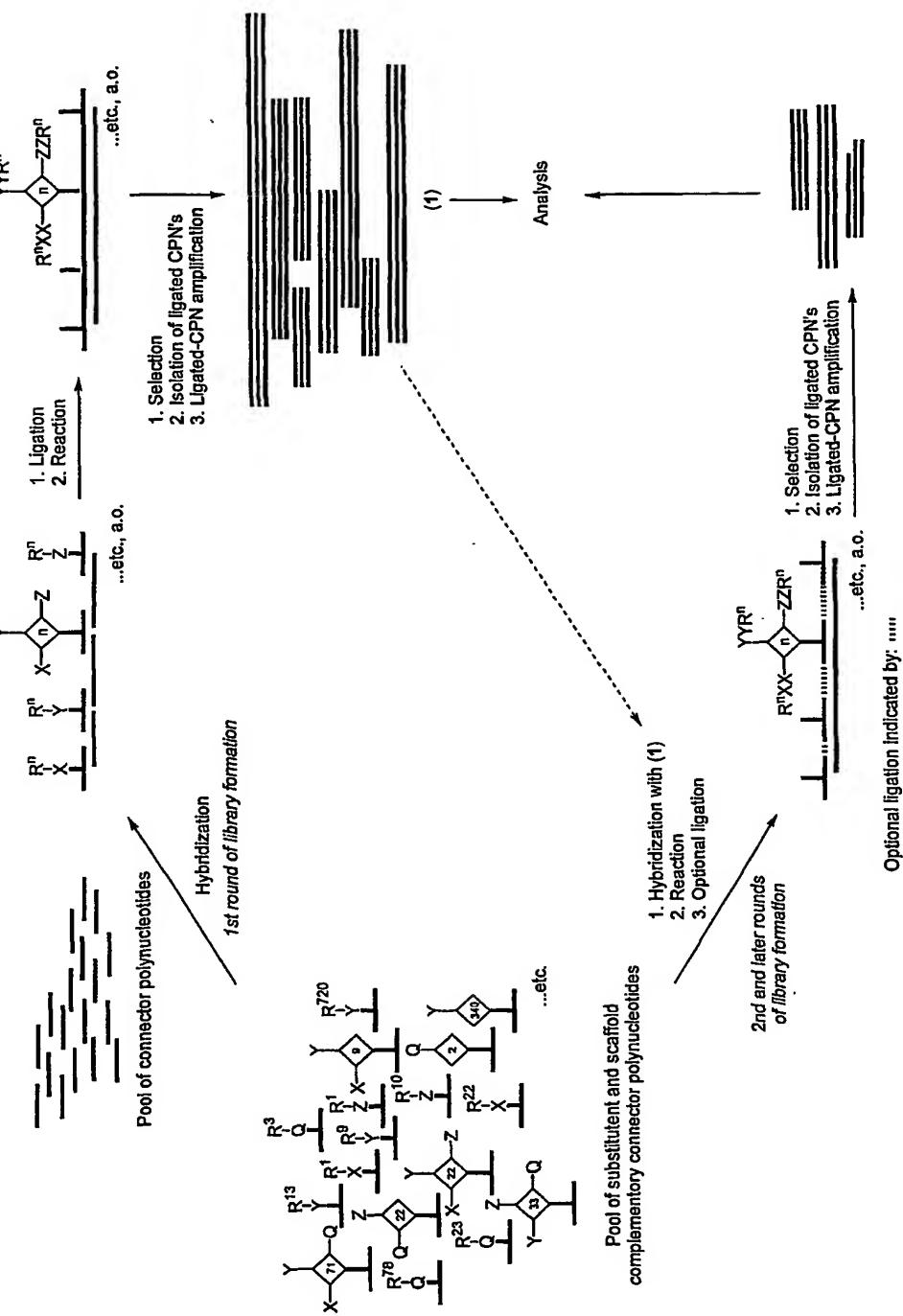
Fig. 8 Library formation, Screening and Analysis



SUBSTITUTE SHEET (RULE 26)

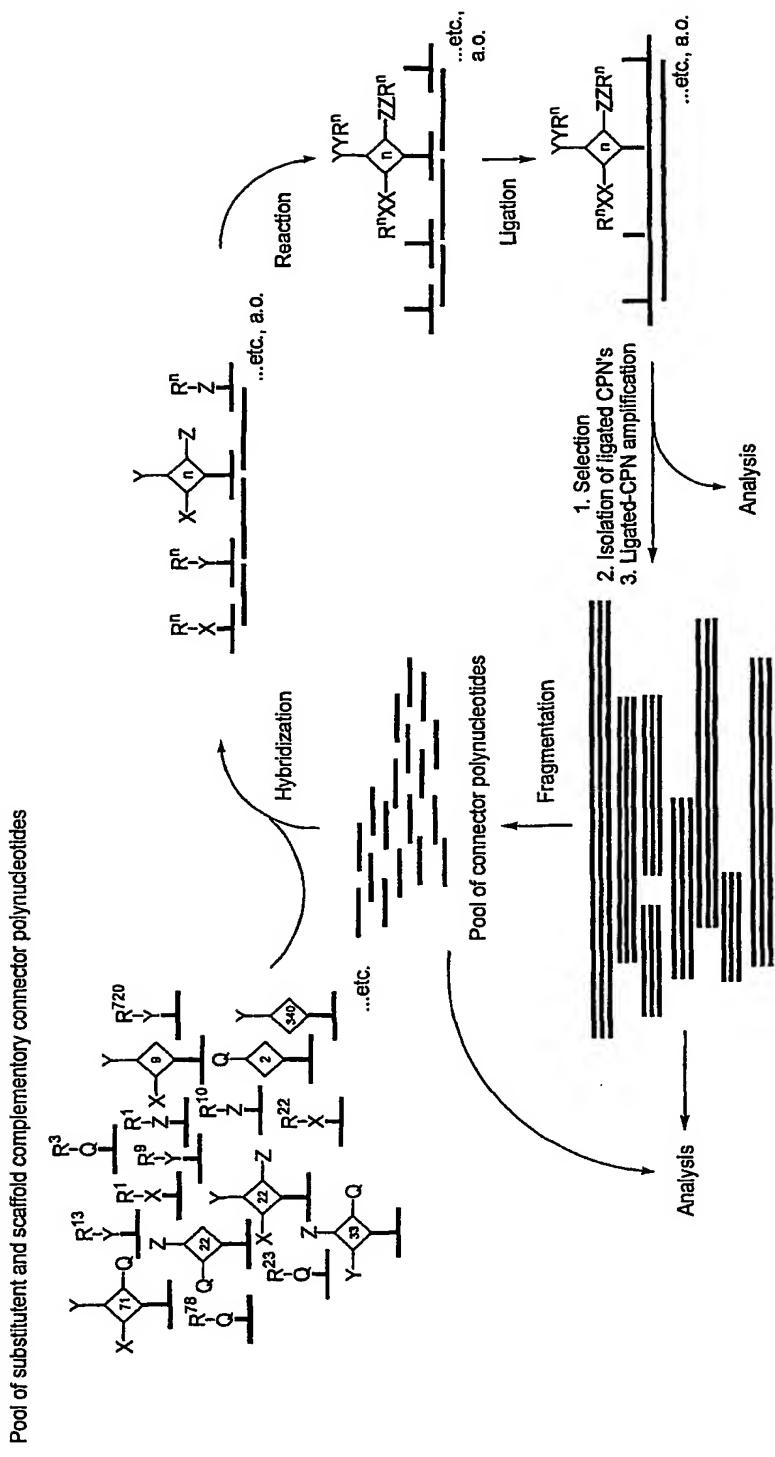
Fig. 9 Library formation, Screening and Analysis**SUBSTITUTE SHEET (RULE 26)**

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Fig. 10 Library formation, Screening and Analysis

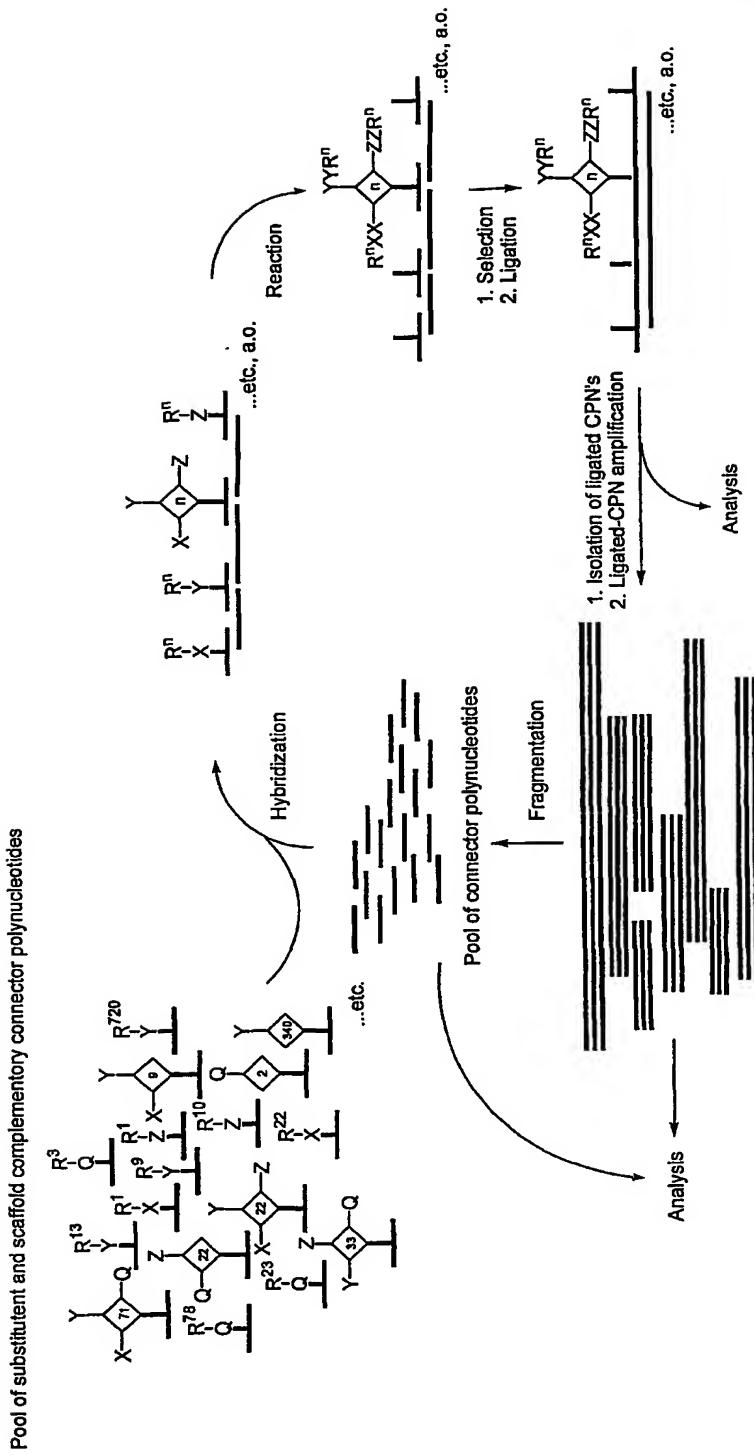
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Fig. 11 Library formation, Screening and Analysis

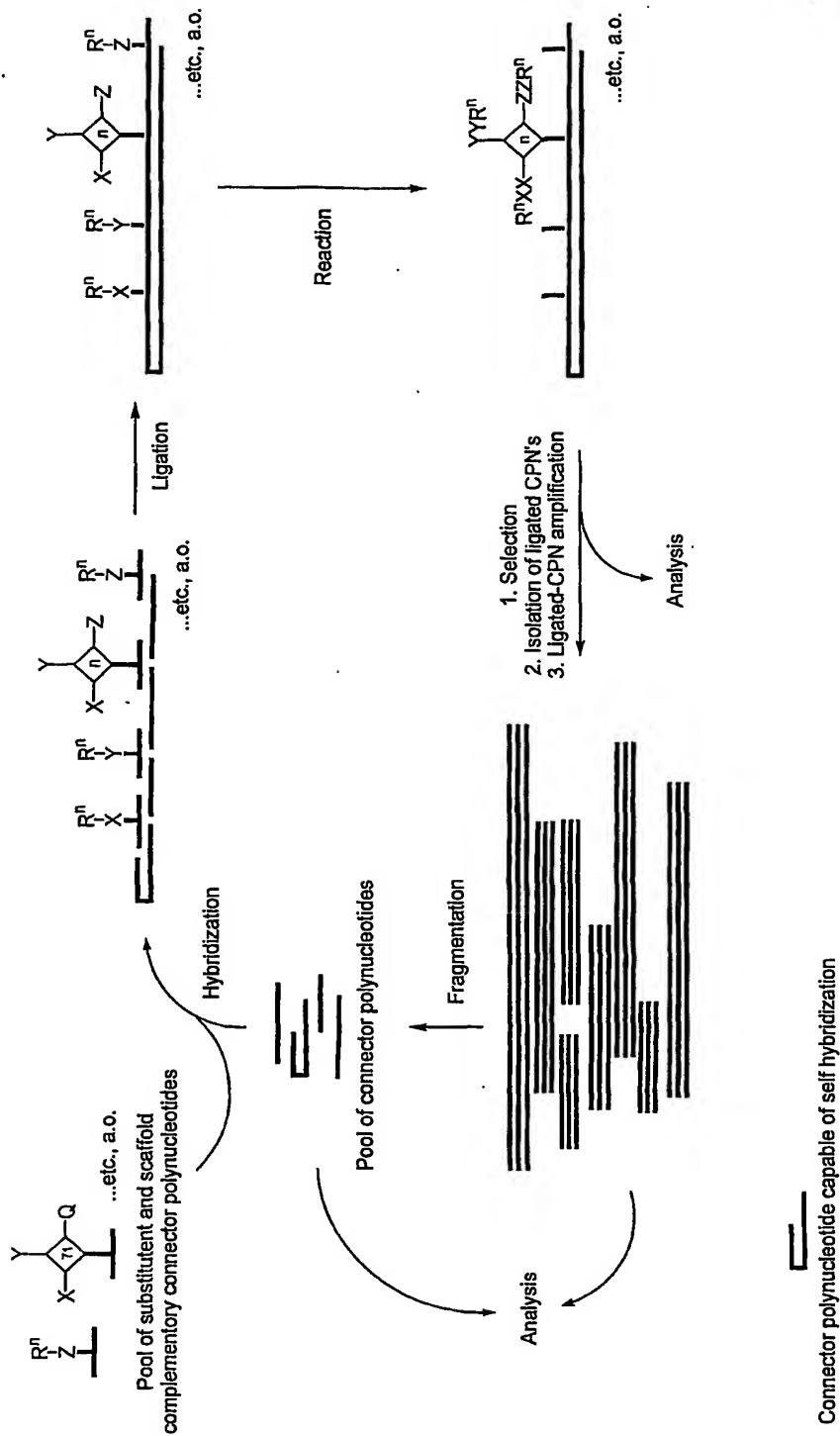


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Fig. 12 Library formation, Screening and Analysis**SUBSTITUTE SHEET (RULE 26)**

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Fig. 13 Library formation, Screening and Analysis**SUBSTITUTE SHEET (RULE 26)**

10 6 2 2 2 2 2

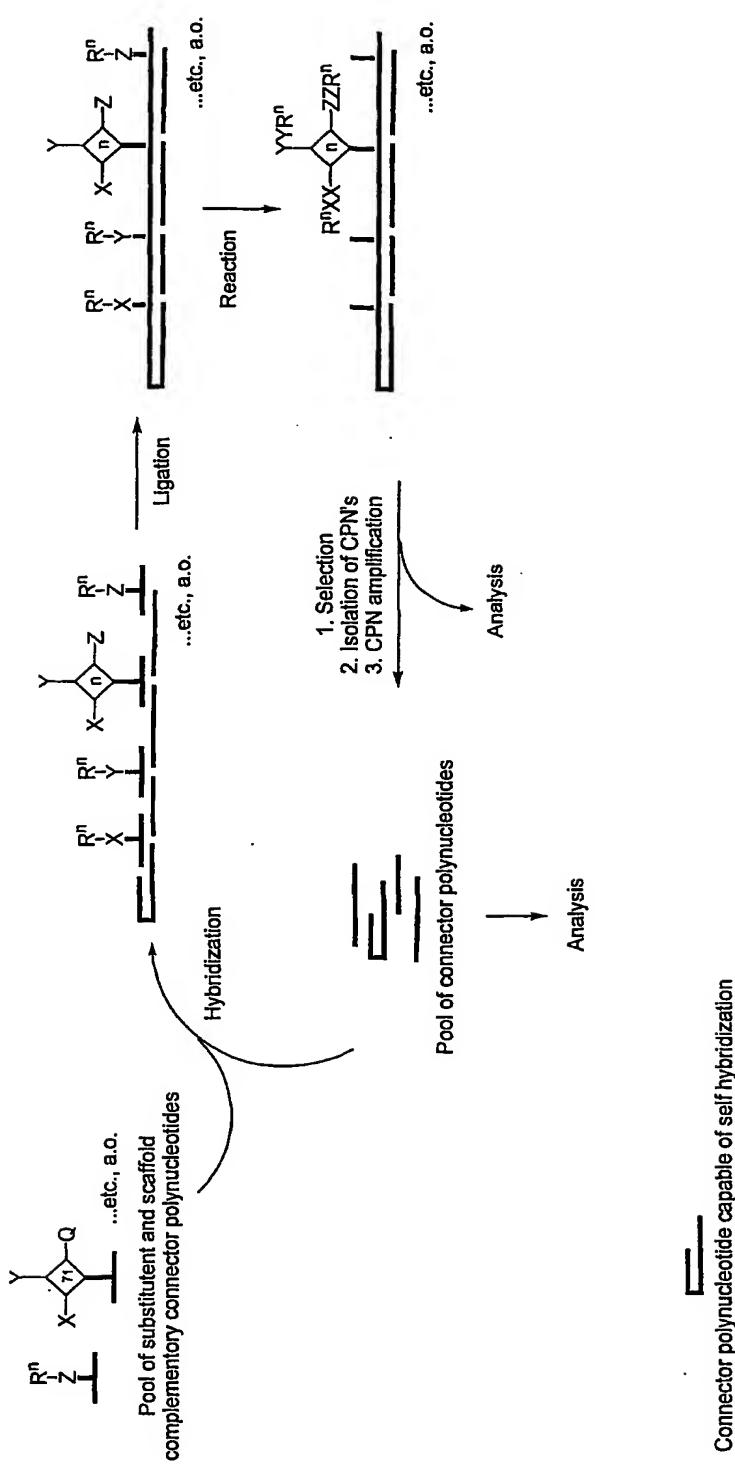
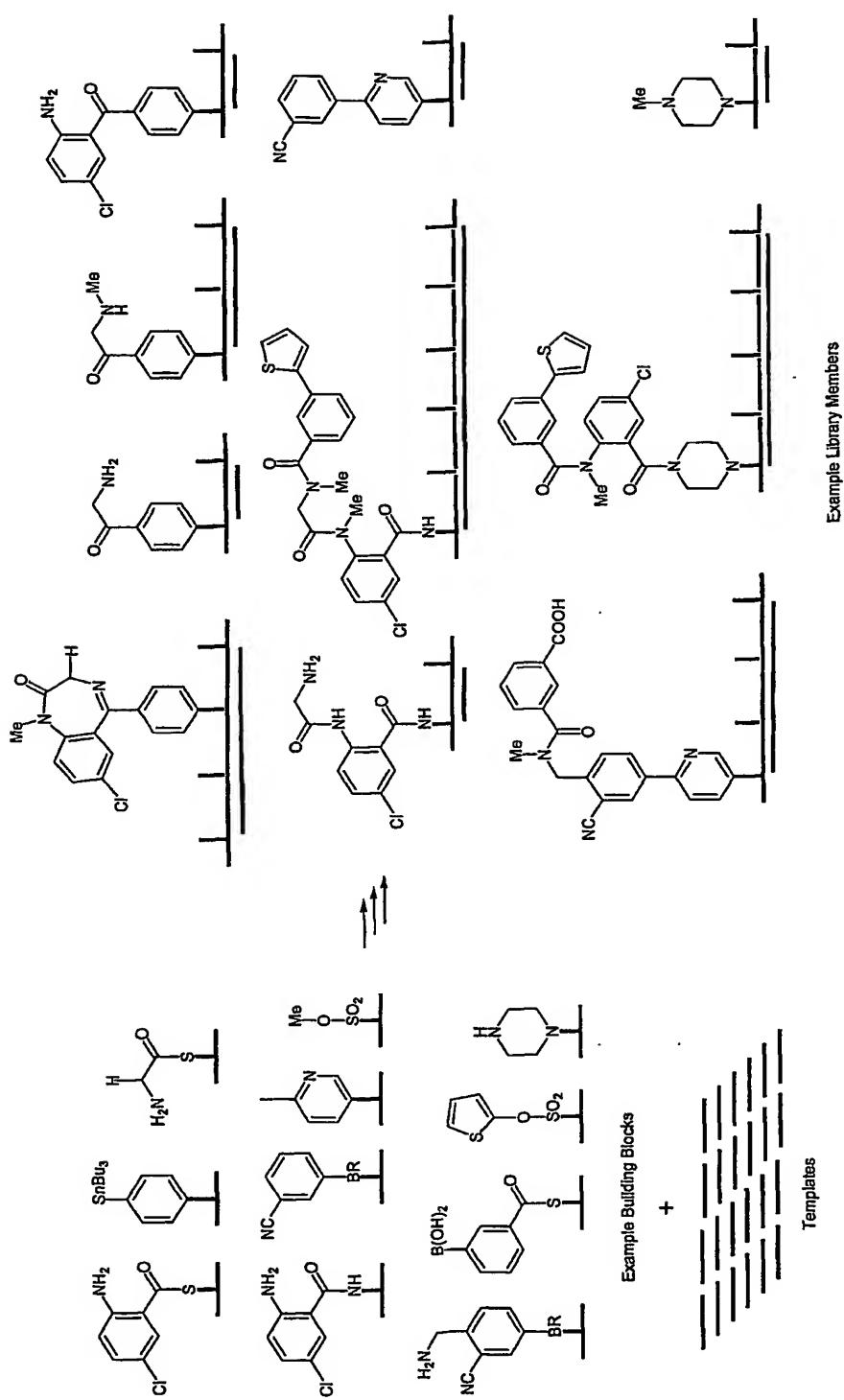
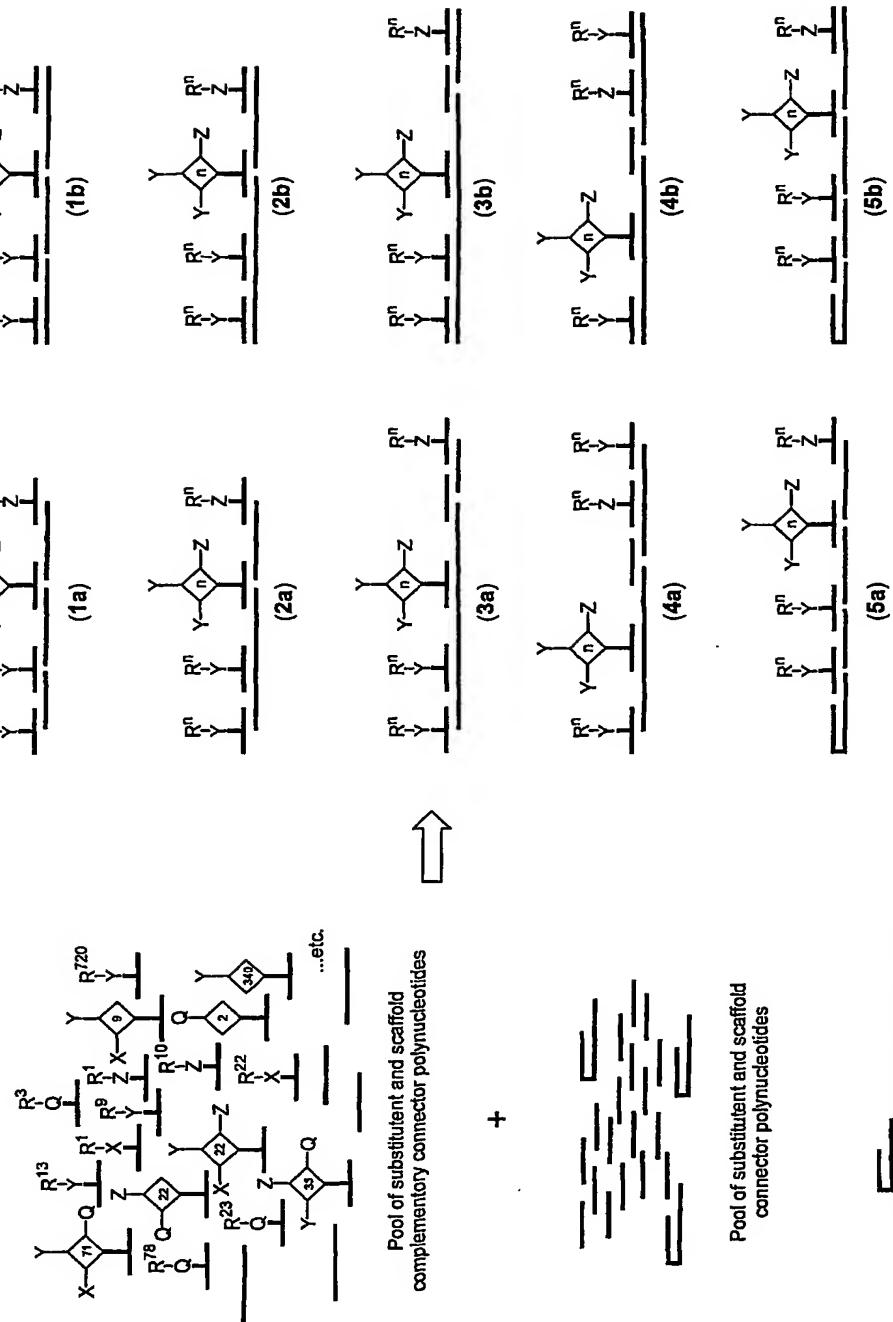
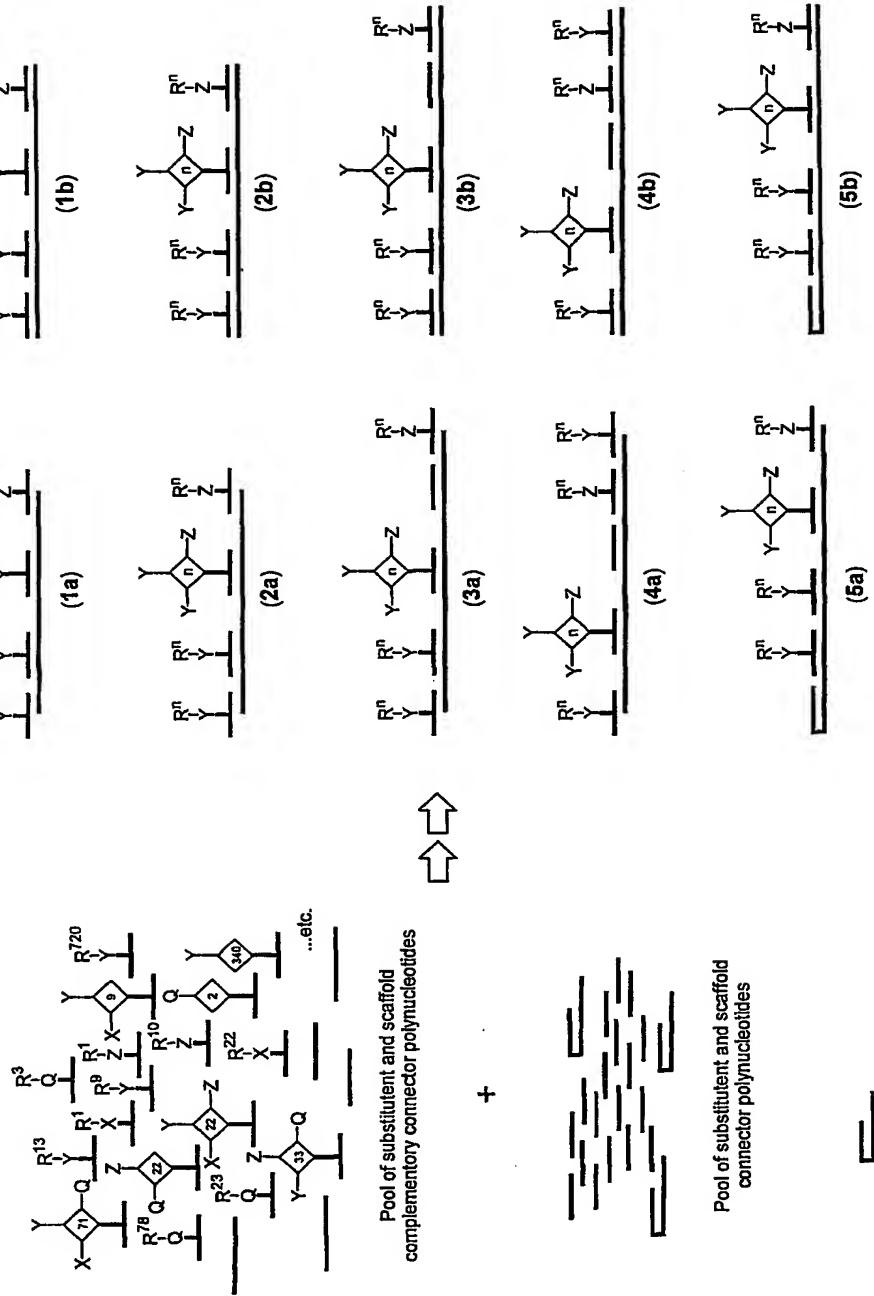
Fig. 14 Library formation, Screening and Analysis**SUBSTITUTE SHEET (RULE 26)**

Fig. 15 Example Library**SUBSTITUTE SHEET (RULE 26)**

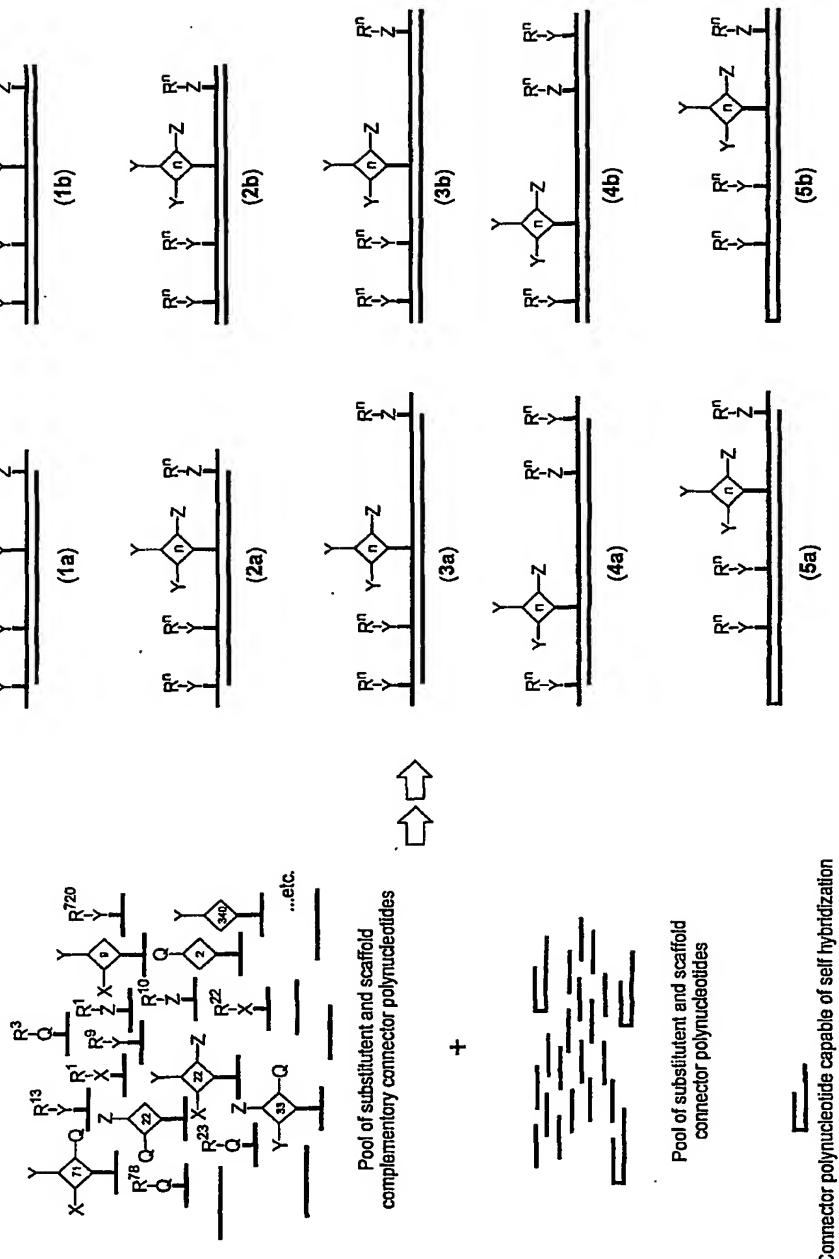
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Fig. 16 Different complexes of CCPN's and CPN's

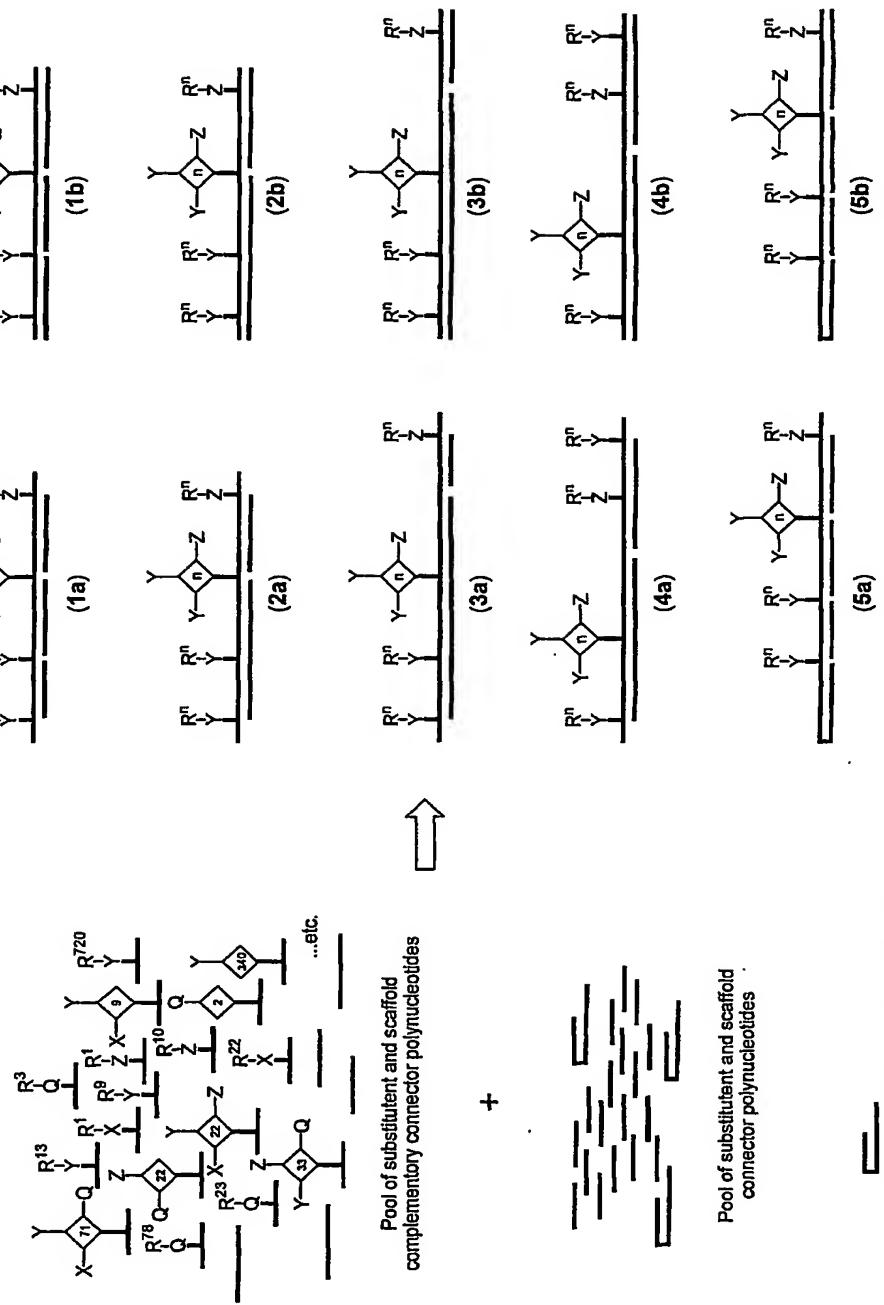
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Fig. 17 Different complexes of CCPN's and CPN's**SUBSTITUTE SHEET (RULE 26)**

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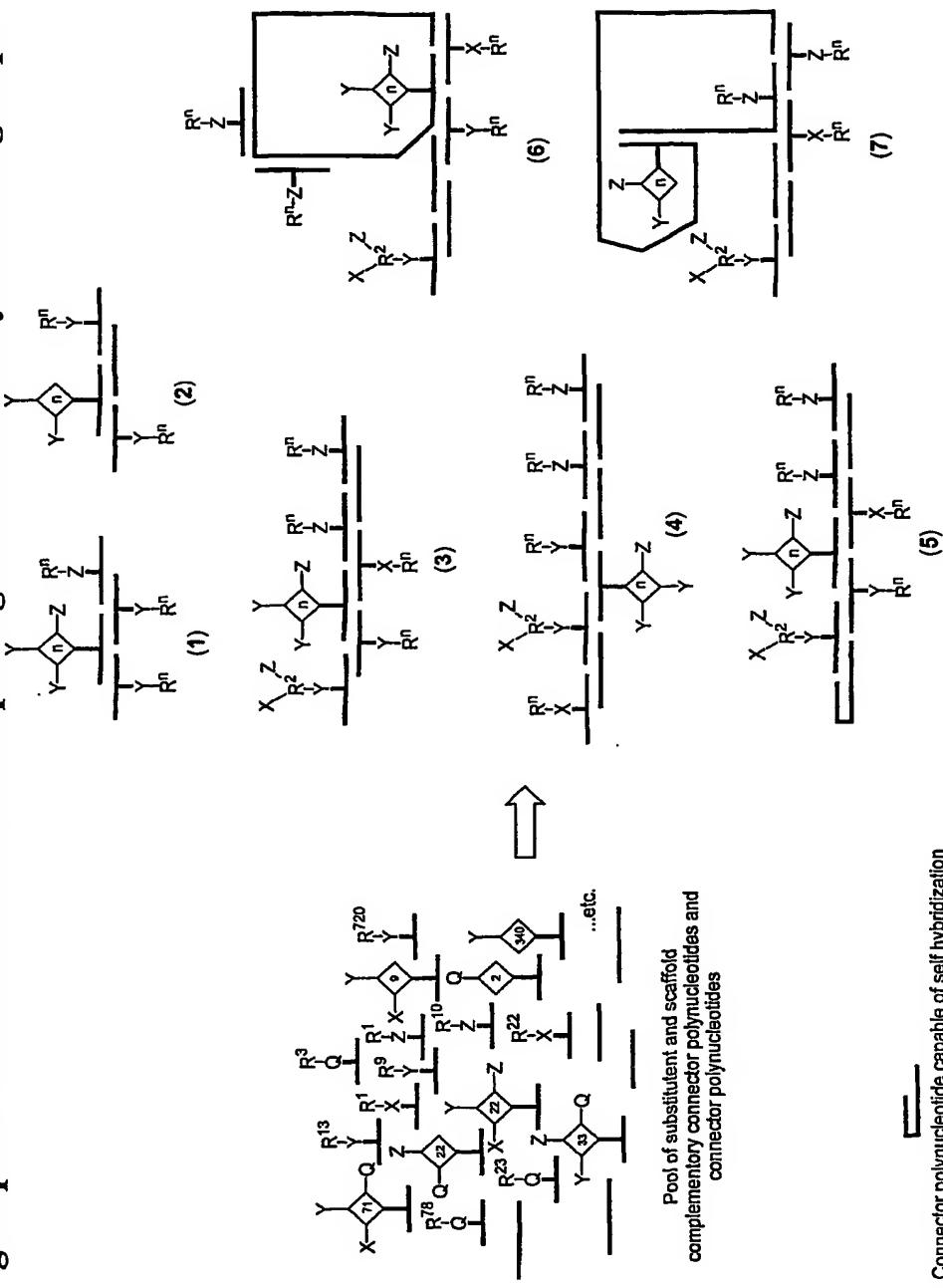
Fig. 18 Different complexes of CCPN's and CPN's

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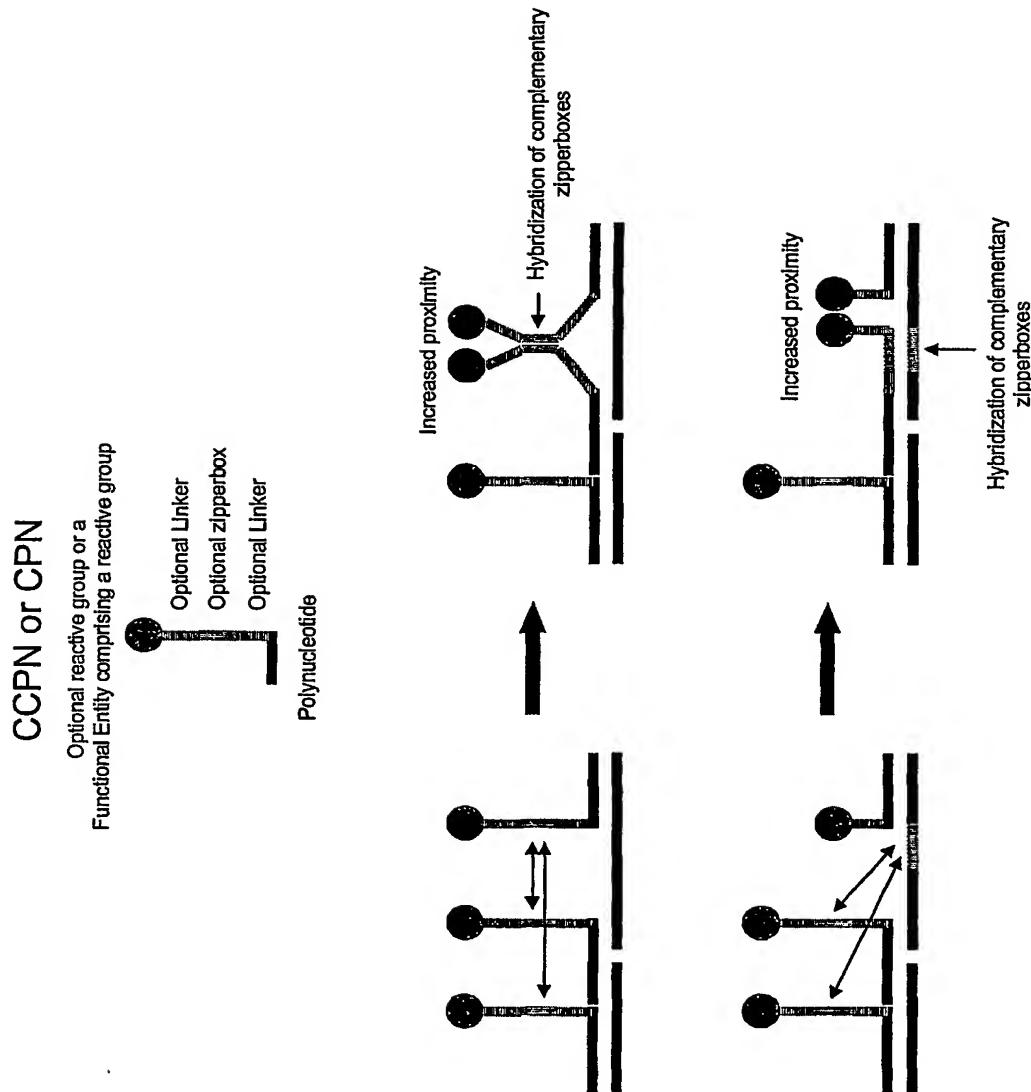
Fig. 19 Different complexes of CCPN's and CPN's

10 / 539288

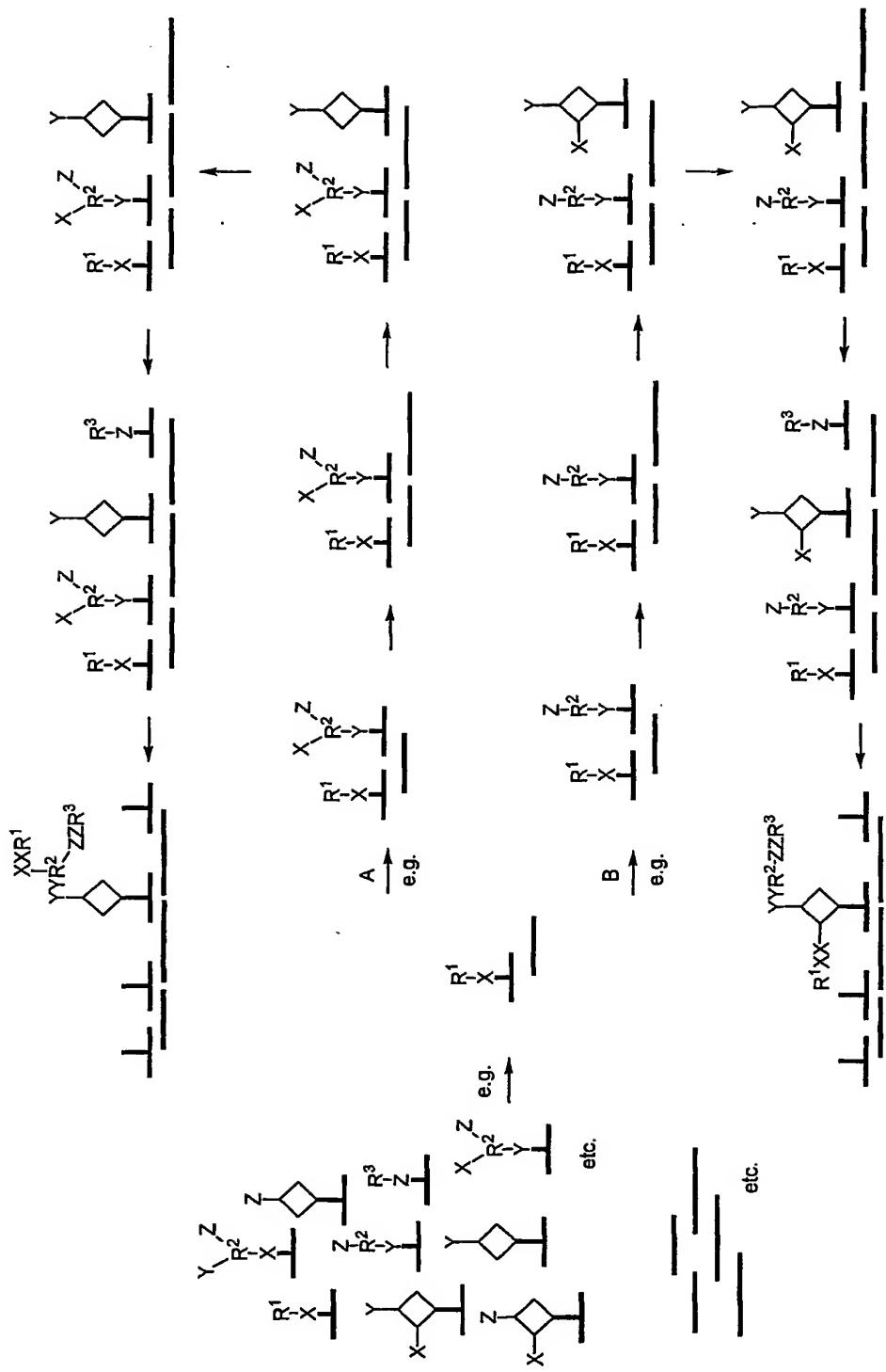
Fig. 20 Different complexes of CCPN's and CPN's, wherein CPN's carry reactive groups or functional entities comprising functional entity reactive groups



10 / 539286

Fig. 21 Zipperbox

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Fig. 22 Library formation. Selfassembly of CPN and CCPN complexes**SUBSTITUTE SHEET (RULE 26)**

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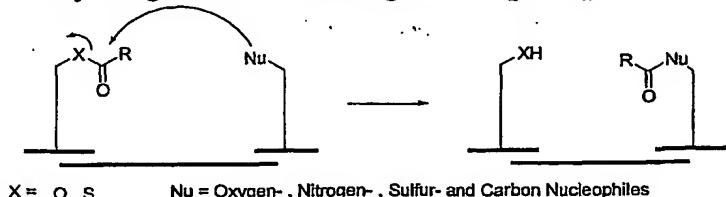
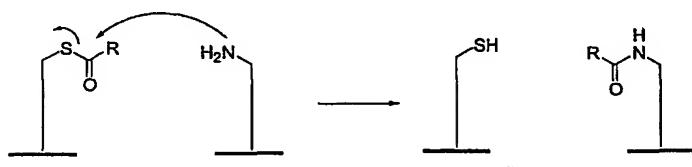
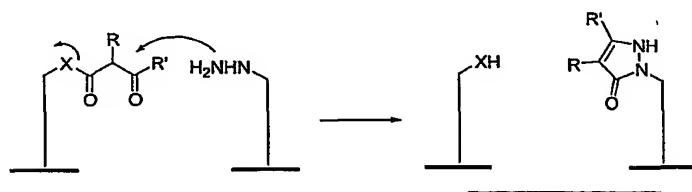
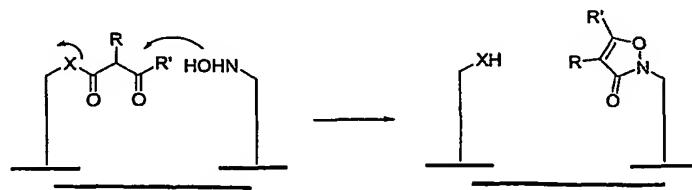
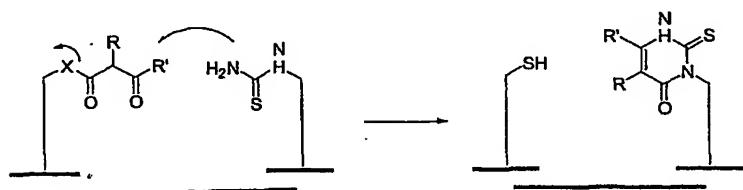
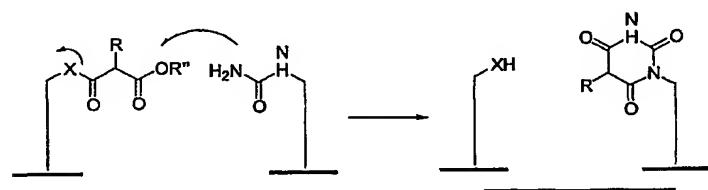
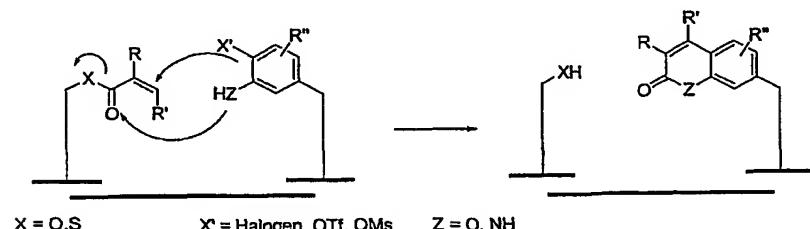
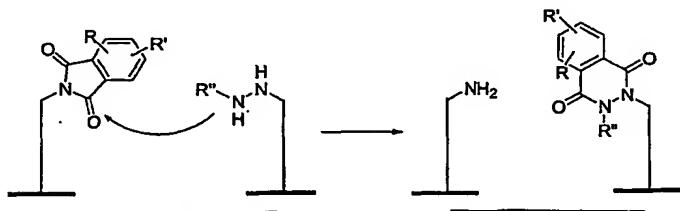
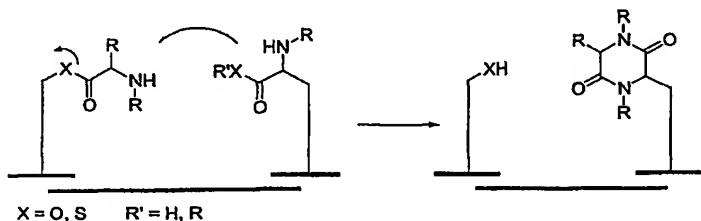
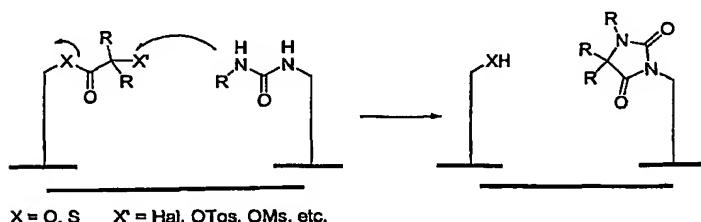
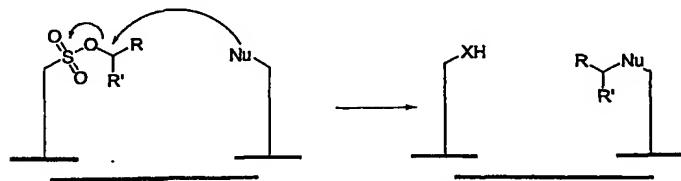
Fig. 23. Reaction types allowing simultaneous reaction and linker cleavage.**Nucleophilic substitution using activation of electrophiles****A. Acylating monomer building blocks - principle****B. Acylation****Amide formation by reaction of amines with activated esters****C. Acylation****Pyrazolone formation by reaction of hydrazines with β -Ketoesters****D. Acylation****Isoxazolone formation by reaction of hydroxylamines with β -Ketoesters**

Fig. 23 (continued)**Reaction types allowing simultaneous reaction and linker cleavage. Continued.****E. Acylation****Pyrimidine formation by reaction of thioureas with β -Ketoesters****F. Acylation****Pyrimidine formation by reaction of ureas with Malonates****G. Acylation****Coumarine or quinolinon formation by a Heck reaction followed by a nucleophilic substitution** $X = O, S$ $X' = \text{Halogen, OTf, OMs}$ $Z = O, NH$

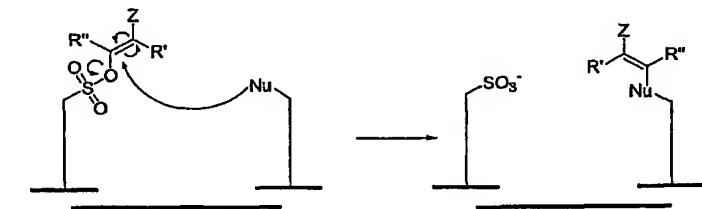
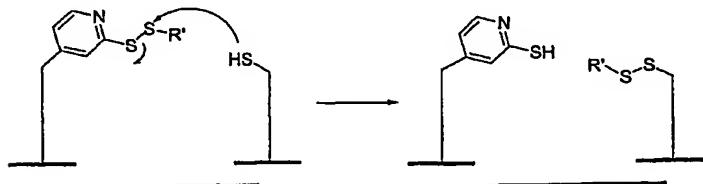
10 / 539288

Fig. 23 (continued)**Reaction types allowing simultaneous reaction and linker cleavage. C ntinued.****H. Acylation****Phthalhydrazide formation by reaction of Hydrazines and Phthalimides****I. Acylation****Diketopiperazine formation by reaction of Amino Acid Esters****J. Acylation****Hydantoin formation by reaction of Urea and α-substituted Esters**

10/539288

Fig. 23 (continued)**Reaction types allowing simultaneous reaction and linker cleavage. Continued.****K. Alkylating monomer building blocks - principle****Alkylated compounds by reaction of Sulfonates with Nucleophiles**

Nu = Oxygen-, Nitrogen-, Sulfur- and Carbon Nucleophiles

L. Vinylating monomer building blocks - principleZ = CN, COOR, COR, NO₂, SO₂R, S(=O)R, SO₂NR₂, F
Nu = Oxygen-, Nitrogen-, Sulfur- and Carbon Nucleophiles**M. Heteroatom electrophiles****Disulfide formation by reaction of Pyridyl disulfide with mercaptanes****SUBSTITUTE SHEET (RULE 26)**

10 / 539288

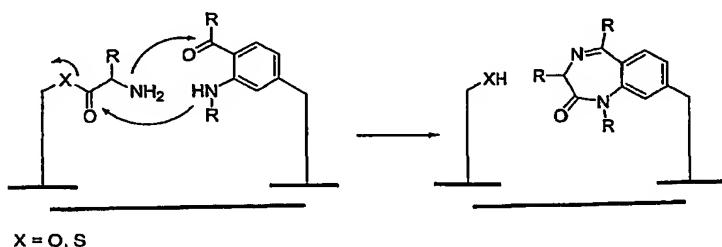
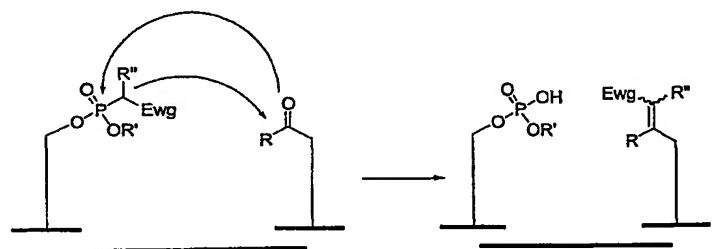
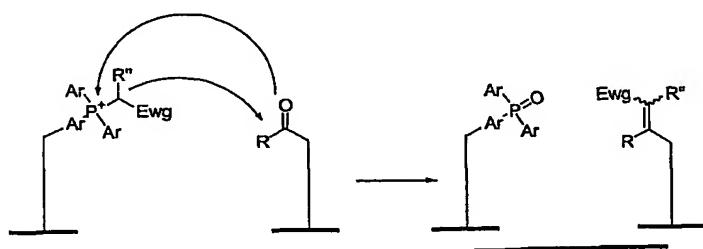
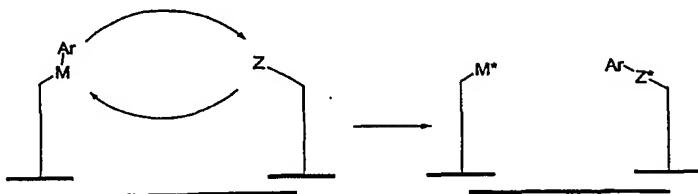
Fig. 23 (continued)**Reaction types allowing simultaneous reaction and linker cleavage. Continued.****N. Acylation****Benzodiazepinone formation by reaction of Amino Acid Esters and Amino Ketones****Addition to carbon-hetero multiple bonds****O. Wittig/Horner-Wittig-Emmons reagents****Substituted alkene formation by reaction of Phosphonates with Aldehydes or Ketones**Ewg = CN, COOR, COR, NO₂, SO₂R, S(=O)R, SO₂NR₂, F etc.**P. Wittig/Horner-Wittig-Emmons reagents****Substituted alkene formation by reaction of Phosphonates with Aldehydes or Ketones**Ewg = CN, COOR, COR, NO₂, SO₂R, S(=O)R, SO₂NR₂, F etc.
Ar = aryl, hetaryl

Fig. 23 (continued)

Reaction types allowing simultaneous reaction and linker cleavage. Continued.

Transition metal catalysed reactions

Q. Transition metal cat. Arylations



Z = haloaryl, halo hetaryl, ArOMs, ArOTf, ArOTos or NHR or OH or SH etc.

Z* = Aryl, hetaryl, NR or O or S etc.

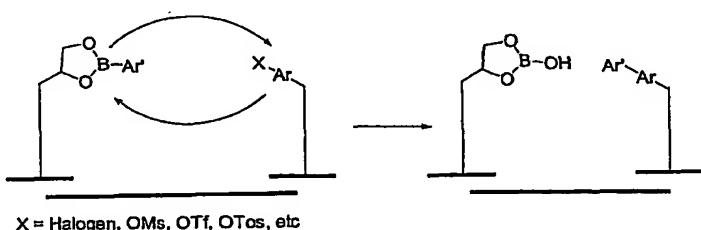
M = e.g. BR, BR₂⁺, SnR₂ etc.

R = H, alkyl, aryl, hetaryl, OR, NR₂

M* = e.g. B(OH)R, B(OH)R₂⁺, Sn(OH)R₂ etc.

R. Arylation

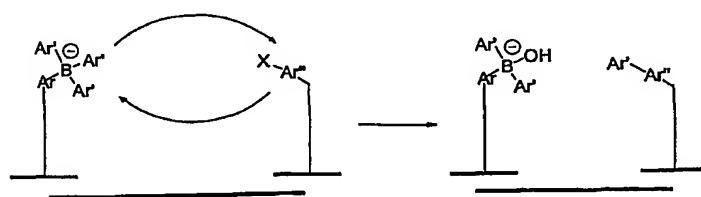
Biaryl formation by the reaction of Borates with Aryls or Heteroaryls



X = Halogen, OMs, OTf, OTos, etc

S. Arylation

Biaryl formation by the reaction of Boronates with Aryls or Heteroaryls



X = Halogen, OMs, OTf, OTos, etc
Ar = aryl, hetaryl

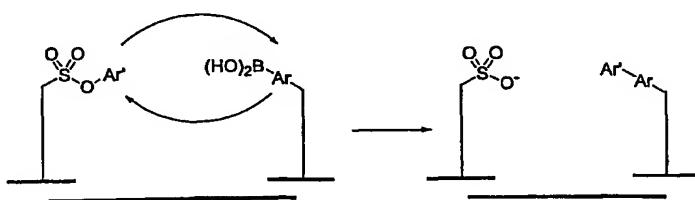
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Fig. 23 (continued)

Reaction types allowing simultaneous reaction and linker cleavage. Continued.

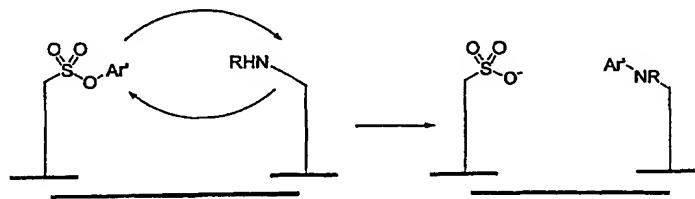
T. Arylation

Biaryl formation by the reaction of Boronates with Aryls or Heteroaryls



U. Arylation

Arylamine formation by the reaction of amines with activated Aryls or Heteroaryls



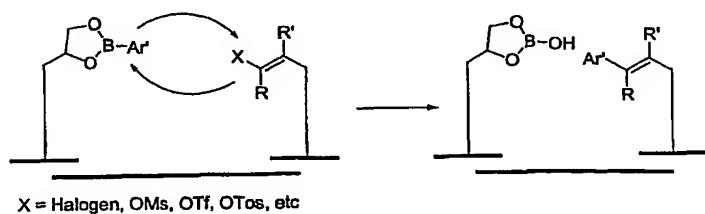
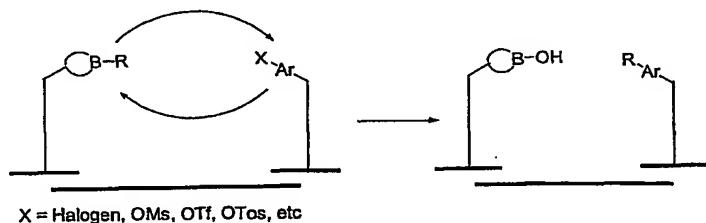
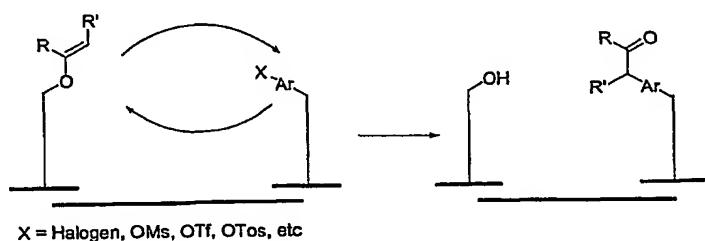
V. Arylation

Arylamine formation by the reaction of amines with hypervalent iodonium salts



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10 / 539288

Fig. 23 (continued)**Reaction types allowing simultaneous reaction and linker cleavage. Continued.****X. Arylation****Vinyllarene formation by the reaction of alkenes with Aryls or Heteroaryls****Y. Alkylation****Alkylation of arenes/hetarens by the reaction with Alkyl boronates****Z. Alkylation****Alkylation of arenes/hetarenes by reaction with enolethers**

10/539288

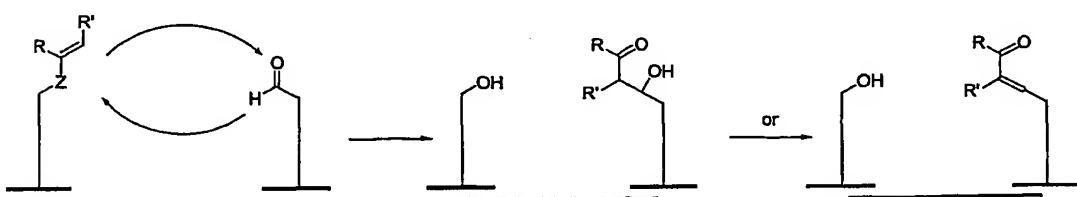
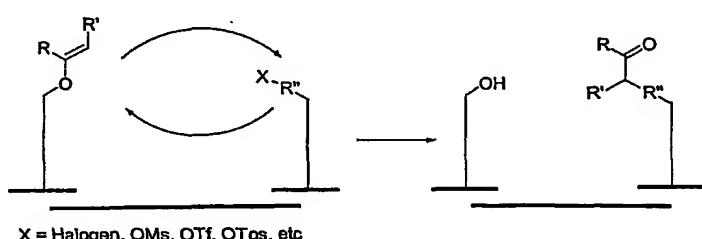
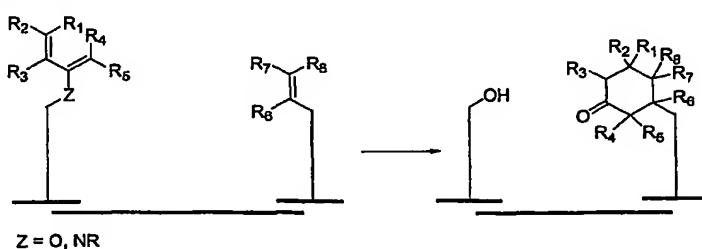
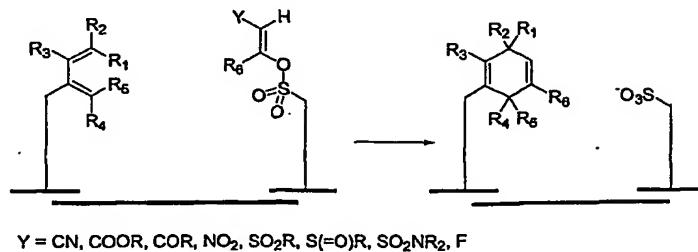
Fig. 23 (continued)**Reaction types allowing simultaneous reaction and linker cleavage. Continued.****Nucleophilic substitution using activation of nucleophiles****AA. Condensations****Alkylation of aldehydes with enolethers or enamines****AB. Alkylation****Alkylation of aliphatic halides or tosylates with enolethers or enamines****Cycloadditions****AC. [2+4] Cycloadditions**

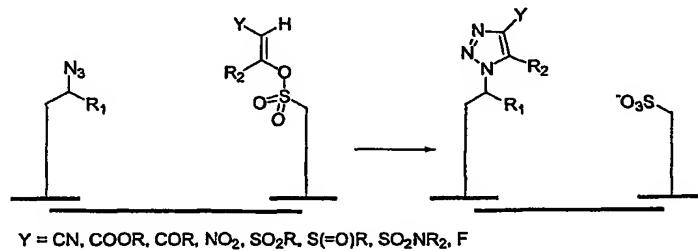
Fig. 23 (continued)

Reaction types allowing simultaneous reaction and linker cleavage. Continued.

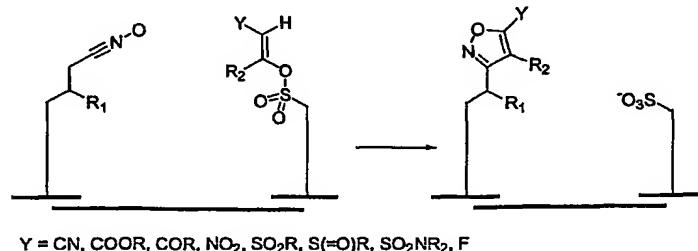
AD. [2+4] Cycloadditions



AE. [3+2] Cycloadditions

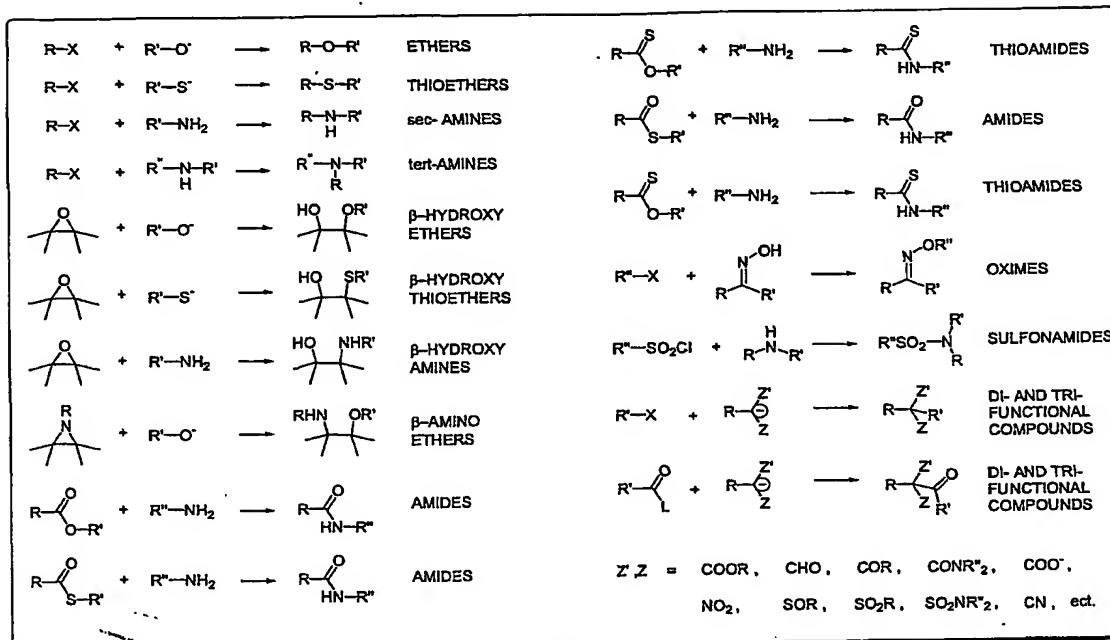
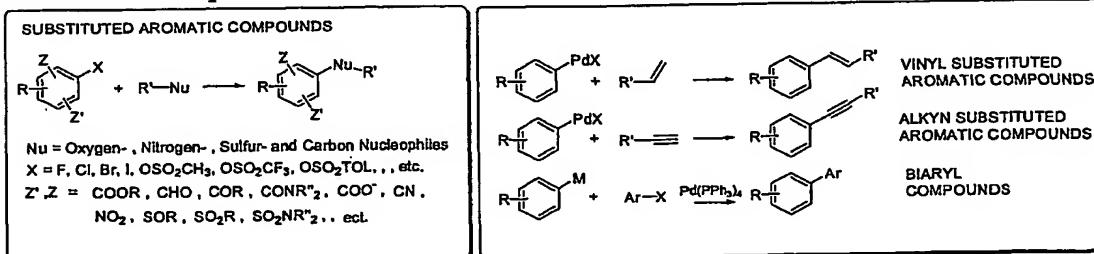


AF. [3+2] Cycloadditions

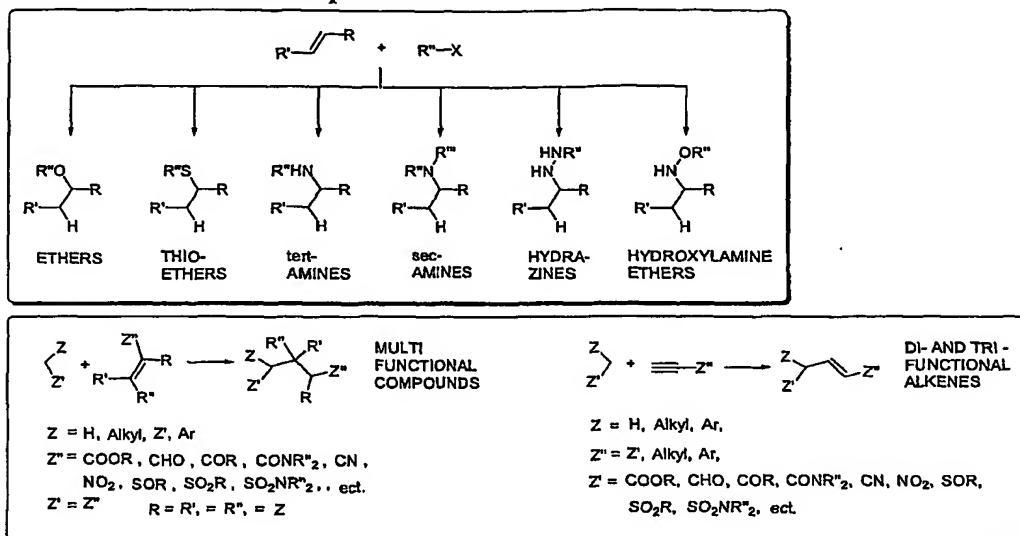
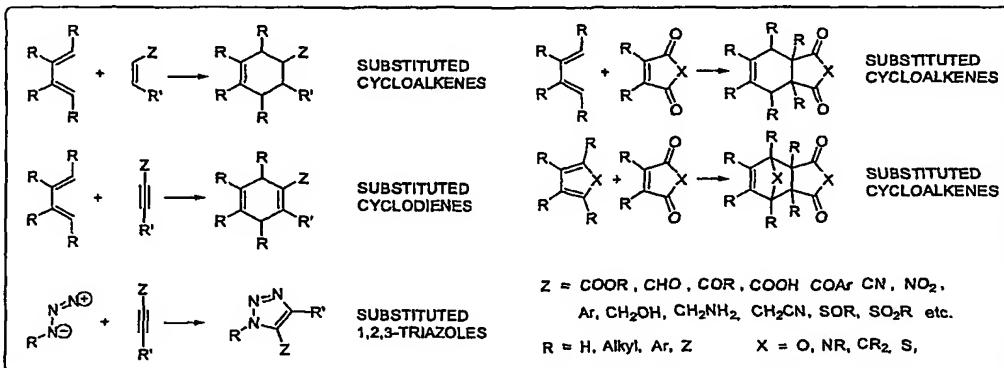


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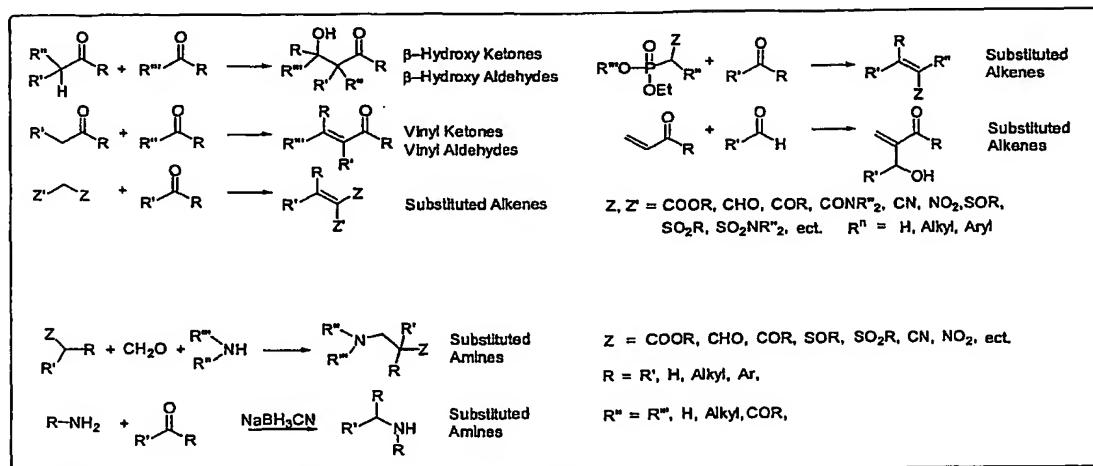
Fig. 24.

Pairs of reactive groups X,Y and the resulting bond XY.**Nucleophilic substitution reaction****Aromatic nucleophilic substitution****Transition metal catalysed reactions**

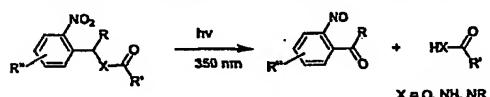
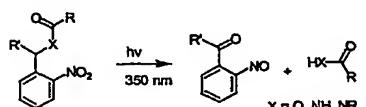
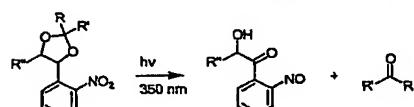
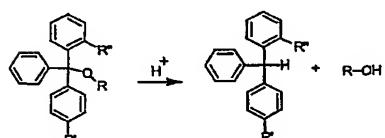
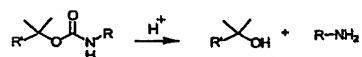
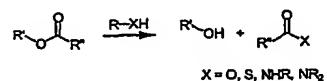
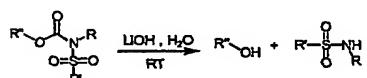
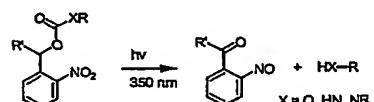
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Fig. 24. (continued)**Pairs of reactive groups X,Y and the resulting bond XY. Continued.****Addition to carbon-carbon multiplebonds****Cycloaddition to multiple bounds**

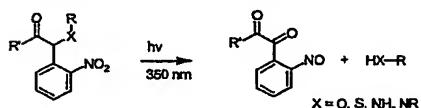
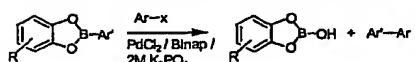
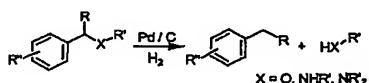
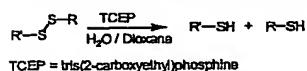
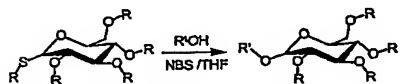
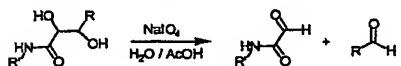
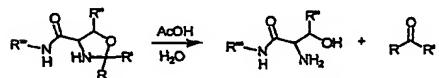
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Fig. 24 (continued)**Pairs of reactive groups X,Y and the resulting bond XY. Continued.****Addition to carbon-hetero multiple bonds**

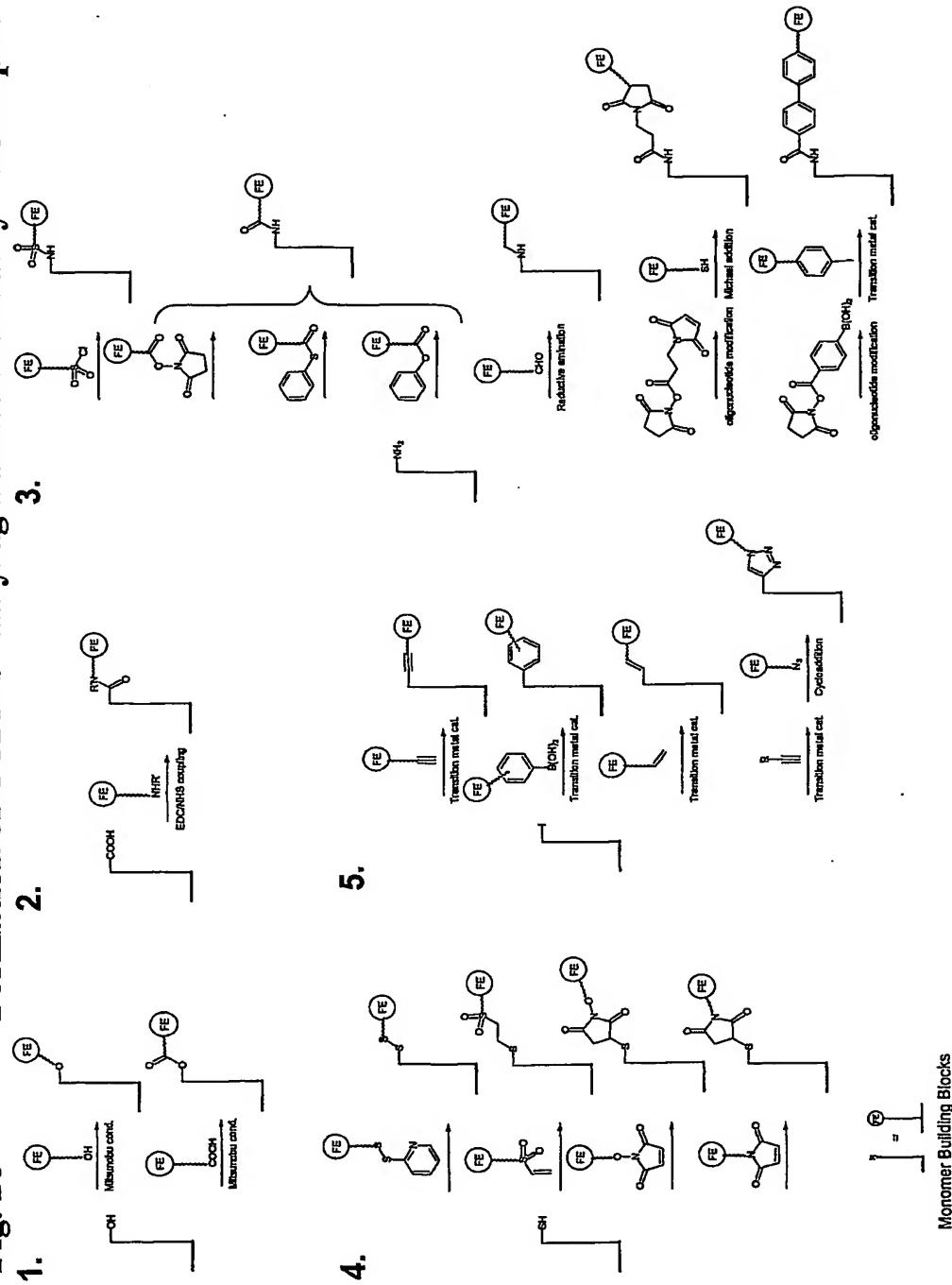
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Fig. 25. Cleavable Linkers**A. Linker for the formation of Ketones, Aldehydes, Amides and Acids****B. Linker for the formation of Ketones, Amides and Acids****C. Linker for the formation of Aldehydes and Ketones****D. Linker for the formation of Alcohols and Acids****E. Linker for the formation of Amines and Alcohols****F. Linker for the formation of Esters, Thioesters , Amides and Alcohols****G. Linker for the formation of Sulfonamides and Alcohols****H. Linker for the formation of Ketones, Amines and Alcohols**

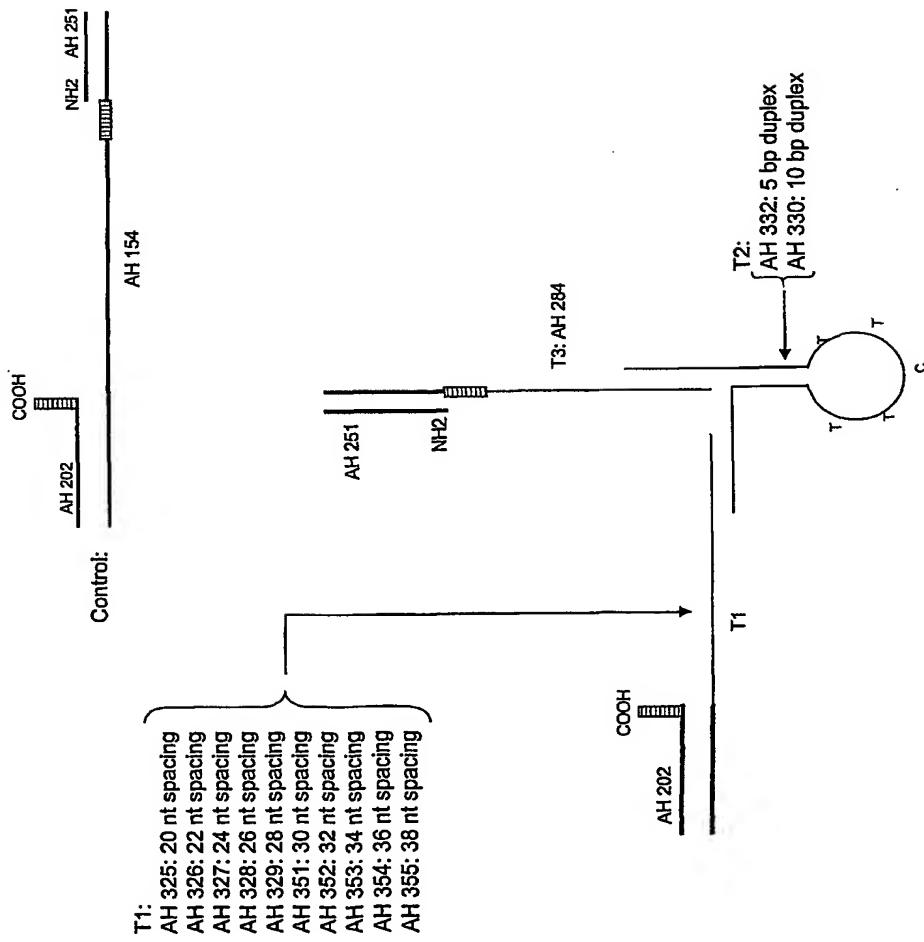
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Fig. 25 (continued)**Cleavable Linkers****I. Linker for the formation of Ketones, Amines, Alcohols and Mercaptanes****J. Linker for the formation of Biaryl and Bihetaryl****K. Linker for the formation of Benzyles, Amines, Anilins
Alcohols and Phenoles****L. Linker for the formation of Mercaptanes****M. Linker for the formation of Glycosides****N. Linker for the formation of Aldehydes and Glyoxylamides****O. Linker for the formation of Aldehydes, Ketones and
Aminoalcohols**

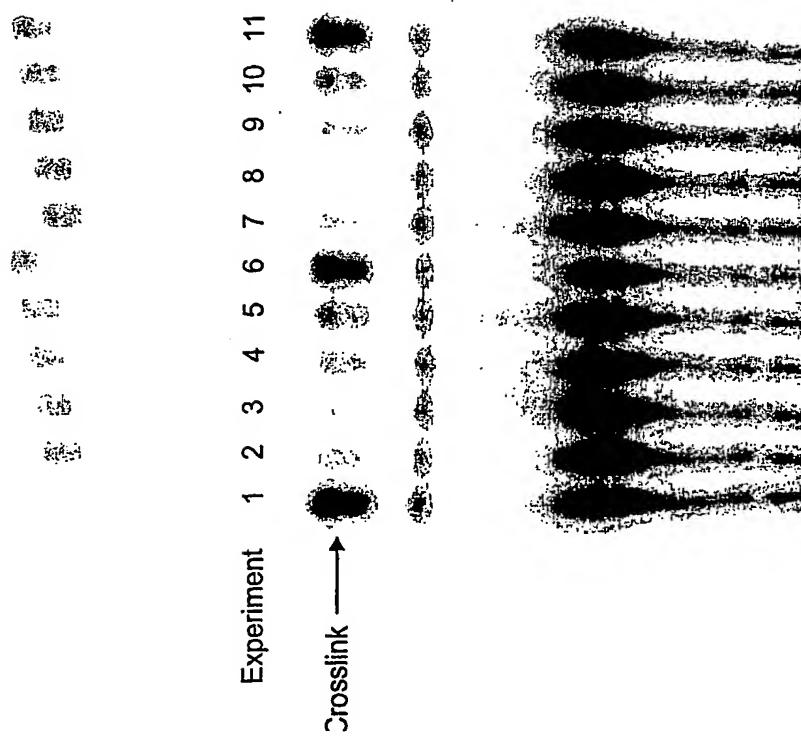
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Fig. 26 Formation of CCPN's carrying a functional entity – Examples

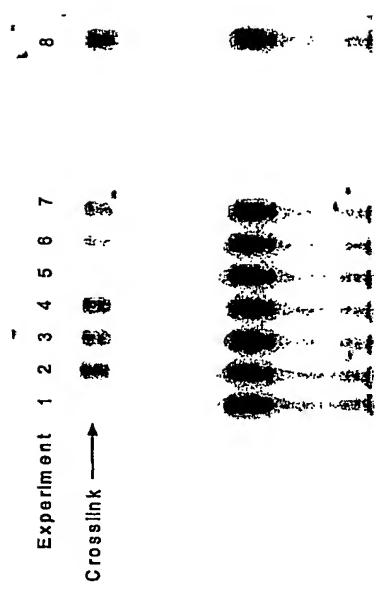
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Fig. 27**SUBSTITUTE SHEET (RULE 26)**

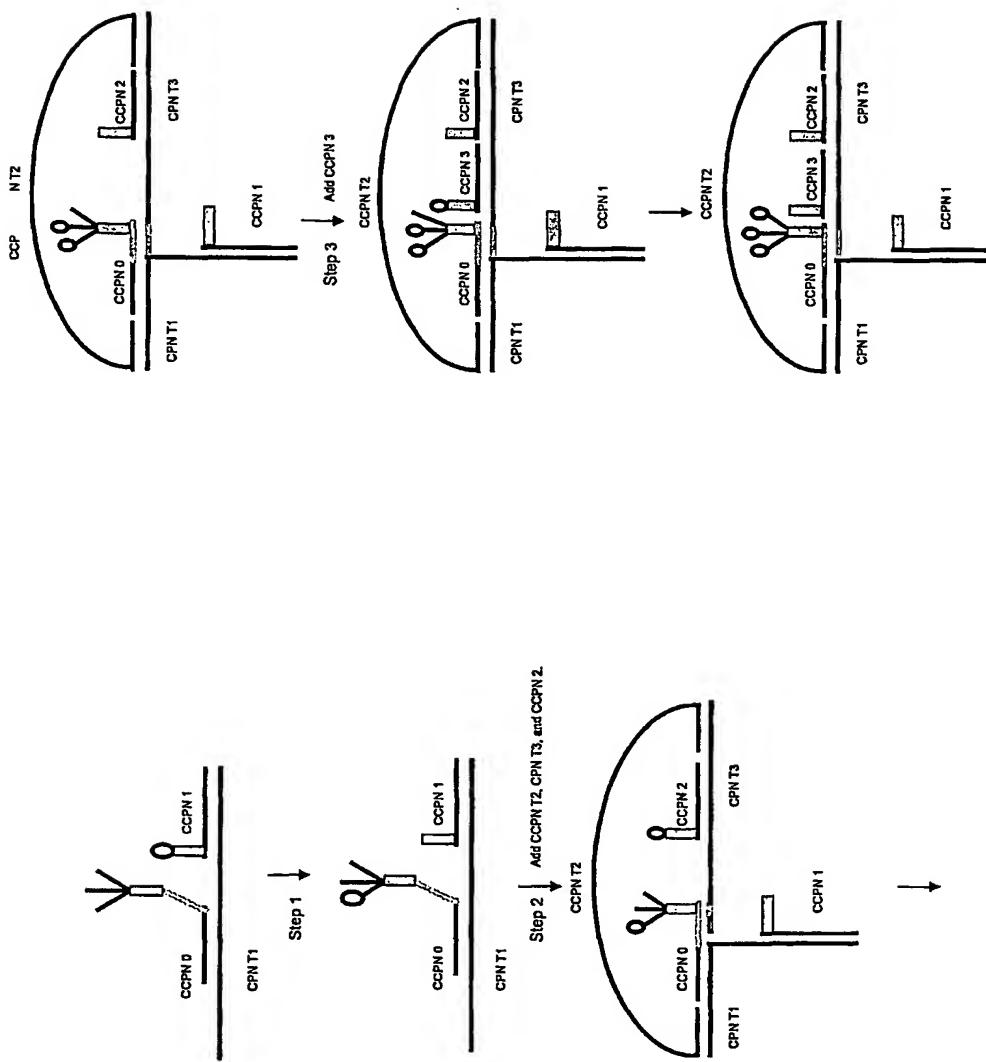
10 / 539288

Fig. 28**SUBSTITUTE SHEET (RULE 26)**

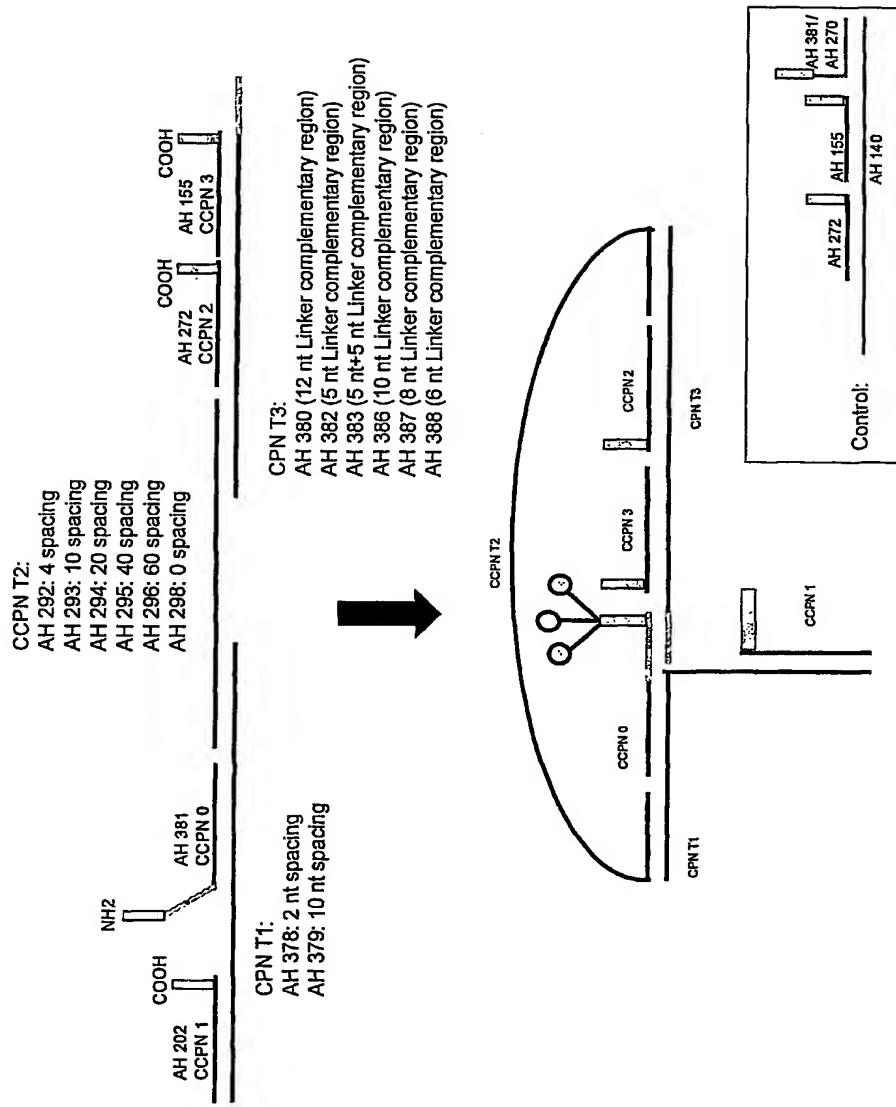
10/53/2003

Fig. 29**SUBSTITUTE SHEET (RULE 26)**

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Fig. 30**SUBSTITUTE SHEET (RULE 26)**

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Fig. 31**SUBSTITUTE SHEET (RULE 26)**

10/539288

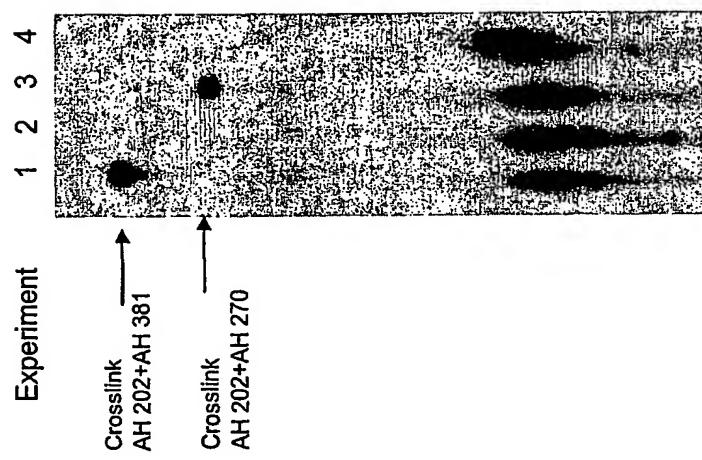


Fig. 32

SUBSTITUTE SHEET (RULE 26)

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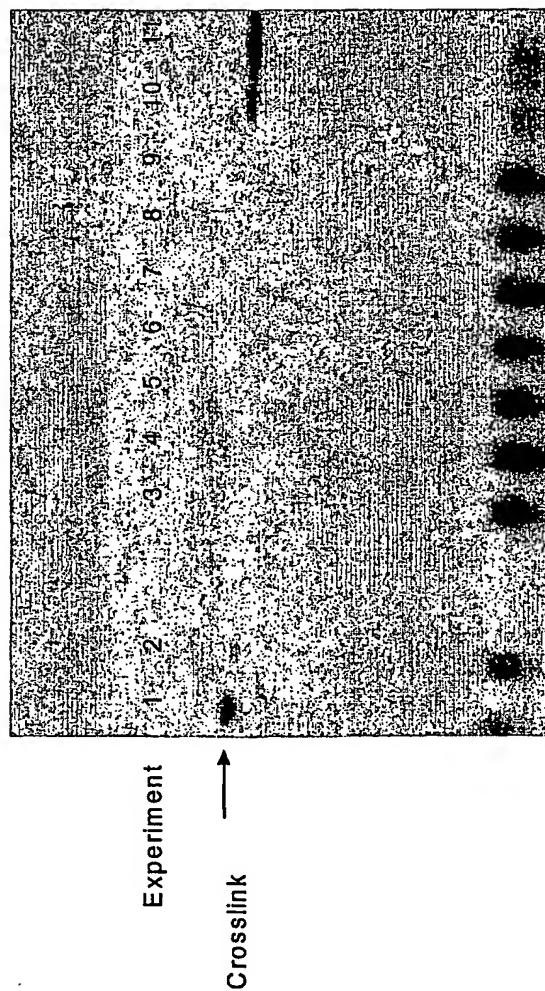
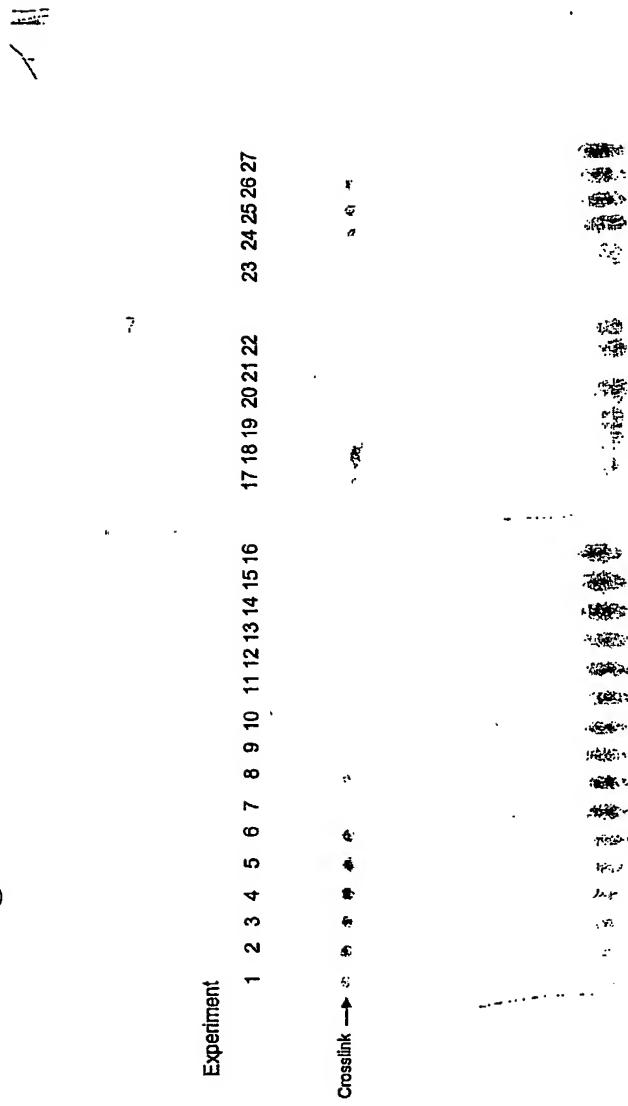


Fig. 33

SUBSTITUTE SHEET (RULE 26)

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Fig. 34**SUBSTITUTE SHEET (RULE 26)**

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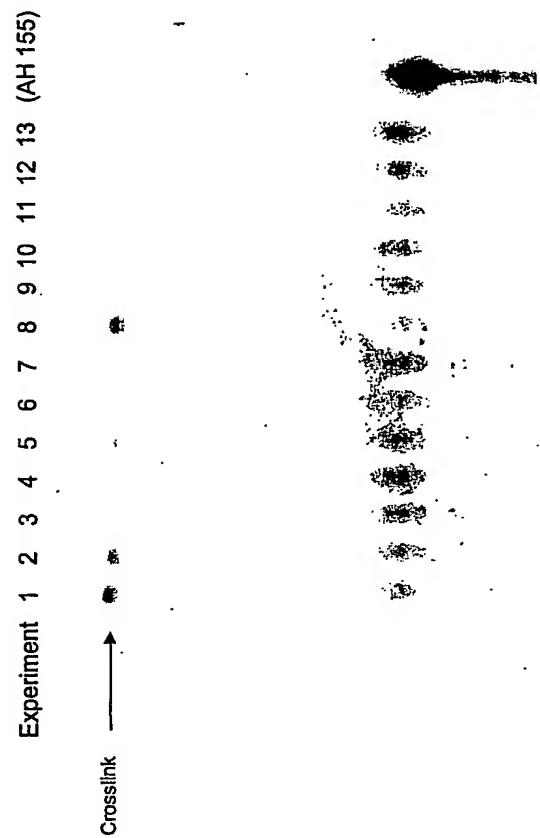


Fig. 35

SUBSTITUTE SHEET (RULE 26)

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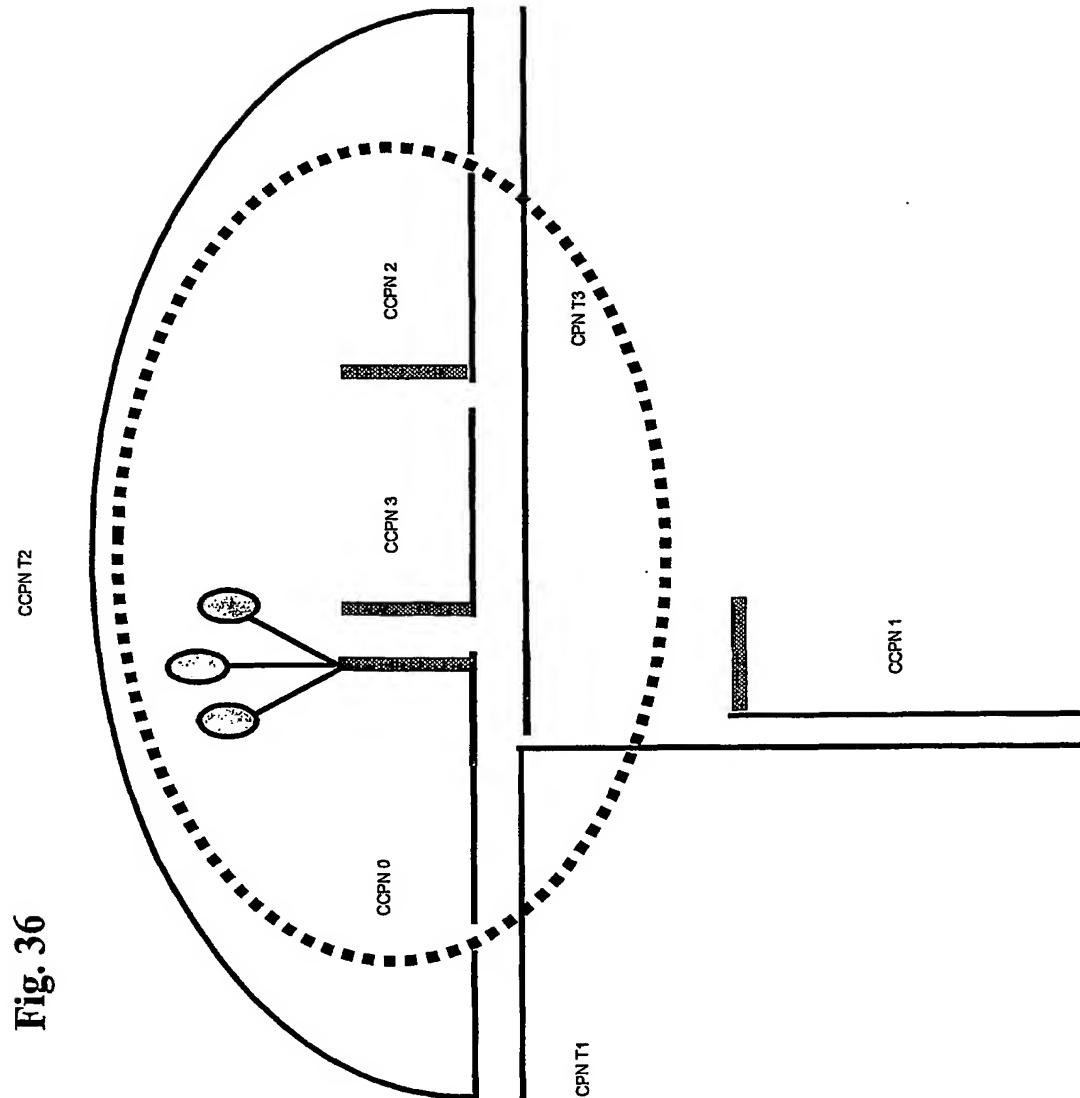


Fig. 36

SUBSTITUTE SHEET (RULE 26)

10 / 539288

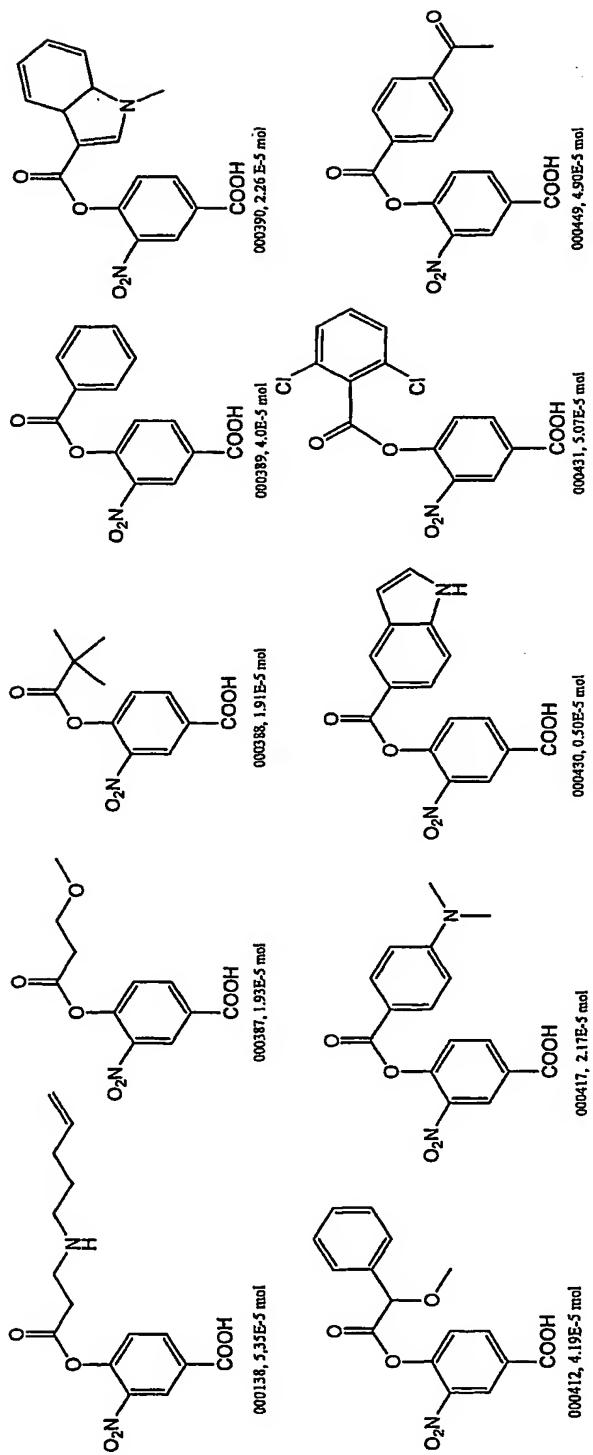


Fig. 37

SUBSTITUTE SHEET (RULE 26)